

96810

~~Search, this please~~

Access DB# \_\_\_\_\_

# SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: SABHA QAT (STB) Examiner #: 74141 Date: 6/10/03  
Art Unit: 1616 Phone Number 305-3910 Serial Number: 09/869/000  
Mail Box and Bldg/Room Location: 2019 Results Format Preferred (circle) PAPER DISK E-MAIL  
3B07

If more than one search is submitted, please prioritize searches in order of need.  
\*\*\*\*\*

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: New 7-alpha-17-alpha bis-alkylated testosterone

Inventors (please provide full names):  
Arwed Cleve et al.

Earliest Priority Filing Date: 371 of PCT/EP99/10355, 12/23/1999

\*For Sequence Searches Only\* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

Please search for testosterone derivatives 6/10/03

of Cl 1. Elected sp. is compd No 80  
Please note a double bond at 4-5 and  
a carbonyl at 3 position. 7 position is <sup>always</sup> substituted.

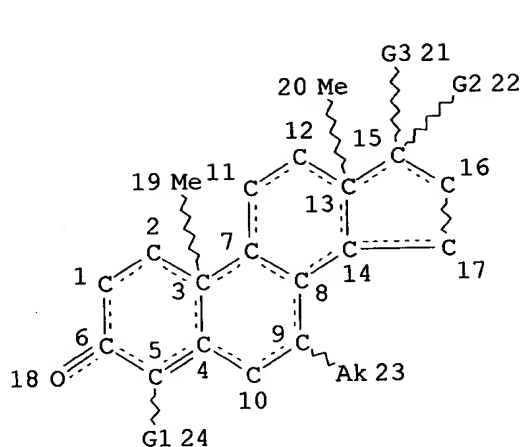
Please see attached sheets 5-  
0 //

Thanks

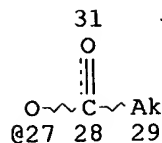
| STAFF USE ONLY                         |                        | Type of Search         | Vendors and cost where applicable |
|--|------------------------|------------------------|-----------------------------------|
| Searcher: _____                        | NA Sequence (#) _____  | STN <u>288.78</u>      |                                   |
| Searcher Phone #: _____                | AA Sequence (#) _____  | Dialog _____           |                                   |
| Searcher Location: _____               | Structure (#) <u>1</u> | Questel/Orbit _____    |                                   |
| Date Searcher Picked Up: <u>6/20</u>   | Bibliographic _____    | Dr.Link _____          |                                   |
| Date Completed: <u>6/21</u>            | Litigation _____       | Lexis/Nexis _____      |                                   |
| Searcher Prep & Review Time: <u>20</u> | Fulltext _____         | Sequence Systems _____ |                                   |
| Clerical Prep Time: _____              | Patent Family _____    | WWW/Internet _____     |                                   |
| Online Time: <u>11</u>                 | Other _____            | Other (specify) _____  |                                   |

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STR



O~Ak  
@25 26



O @30

Ak @32 F~Ak~F  
33 @34 35

VAR G1=H/30/25/27

VAR G2=32/34

VAR G3=30/25/27

NODE ATTRIBUTES:

CONNECT IS E2 RC AT 16

CONNECT IS E2 RC AT 17

CONNECT IS E1 RC AT 26

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CONNECT IS E1 RC AT 30

CONNECT IS E1 RC AT 32

DEFAULT MLEVEL IS ATOM

GGCAT IS LOC AT 32

GGCAT IS LOC SAT AT 34

DEFAULT ECLEVEL IS LIMITED

ECOUNT IS M6 C AT 23

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 35

STEREO ATTRIBUTES: NONE

L3 119 SEA FILE=REGISTRY SSS FUL L1

L4 1 SEA FILE=HCAPLUS ABB=ON PLU=ON L3

=&gt; d ibib abs hitstr

L4 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:442168 HCAPLUS

DOCUMENT NUMBER: 133:74180

TITLE: Preparation of new testosterone derivatives and their

use in the long-term therapy of androgen-dependent illnesses

INVENTOR(S): Cleve, Arwed; Sauer, Gerhard; Huwe, Christoph; Parczyk, Karsten; Hoffmann, Jens; Schneider, Martin

PATENT ASSIGNEE(S): Schering A.-G., Germany

SOURCE: Ger. Offen., 41 pp.  
CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO.    | DATE     |
|---|------|----------|--------------------|----------|
| DE 19860719   | A1   | 20000629 | DE 1998-19860719   | 19981223 |
| WO 2000039148   | A1   | 20000706 | WO 1999-EP10355    | 19991223 |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |      |          |                    |          |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  |      |          |                    |          |
| EP 1140972  | A1   | 20011010 | EP 1999-967003     | 19991223 |
| EP 1140972  | B1   | 20030305 |                    |          |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO   |      |          |                    |          |
| JP 2002533471   | T2   | 20021008 | JP 2000-591059     | 19991223 |
| AT 233782   | E    | 20030315 | AT 1999-967003     | 19991223 |
| NO 2001003168   | A    | 20010622 | NO 2001-3168       | 20010622 |
| PRIORITY APPLN. INFO.:  |      |          | DE 1998-19860719 A | 19981223 |
|   |      |          | WO 1999-EP10355 W  | 19991223 |
| OTHER SOURCE(S):  |      |          | MARPAT 133:74180   |          |
| GI  |      |          |                    |          |

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The available invention concerns new 7.alpha.,17.alpha.,17.beta.-substituted testosterone derivs. I [A = C6-13-alkylene; B = O, S(O)p, NY, NYCO, NYSO2, OSO2, OSiMe2, SCO, connection between A and CC; p = 0, 1, 2; Y = H, C1-8-alkyl, or together with CC a connection between A and CD, CC a connection between B and CD, or together with B a connection between A and CD, C1-6-alkyl, (un)substituted phenylene, vinyl, C1-4-alkoxy; C1-4-alkoxycarbonyl, bis(C1-4-alkoxycarbonyl)methyl] and their use as pure anti-androgens in the long-term therapy of androgen-dependent illnesses, in particular for the long-term anti-androgen therapy of the prostate carcinomas. Thus, antiandrogen II was prepd. from 17.alpha.-methyl-3-oxoandrosta-4,6-dien-17.beta.-yl acetate (III). II was tested for antiproliferation activity against against human prostate carcinoma LNCaP [IC50 = 40 nM].

IT 278603-67-1P 278603-68-2P 278603-72-8P  
278603-92-2P 278603-97-7P 278604-10-7P

278604-11-8P 278604-12-9P 278604-20-9P  
 278604-21-0P 278604-22-1P 278604-23-2P  
 278604-24-3P 278604-25-4P 278604-26-5P  
 278604-27-6P 278604-28-7P 278604-30-1P  
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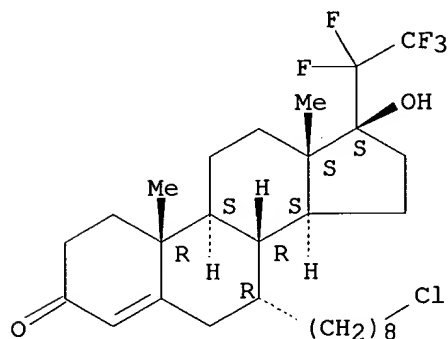
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of new testosterone derivs. and their use in the long-term therapy of androgen-dependent illnesses)

RN 278603-67-1 HCAPLUS

CN Pregn-4-en-3-one, 7-(8-chlorooctyl)-20,20,21,21,21-pentafluoro-17-hydroxy-, (7.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

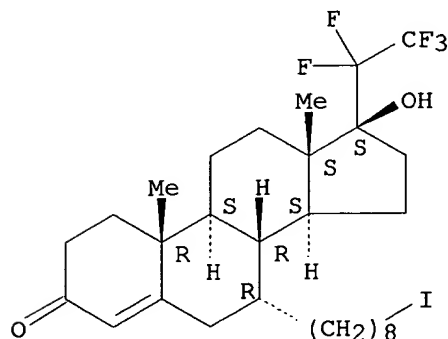
Absolute stereochemistry.



RN 278603-68-2 HCAPLUS

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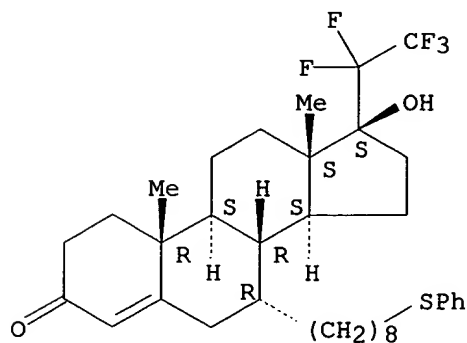
Absolute stereochemistry.



RN 278603-72-8 HCAPLUS

CN Pregn-4-en-3-one, 20,20,21,21,21-pentafluoro-17-hydroxy-7-[8-(phenylthio)octyl]-, (7.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

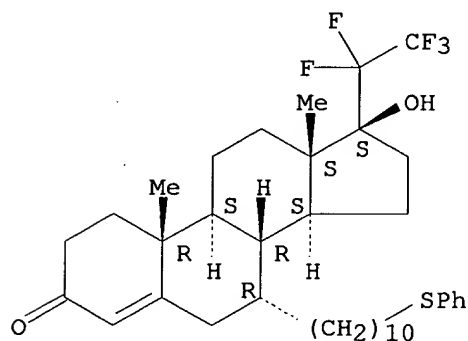
Absolute stereochemistry.



RN 278603-92-2 HCAPLUS

CN Pregn-4-en-3-one, 20,20,21,21,21-pentafluoro-17-hydroxy-7-[10-(phenylthio)decyl]-, (7.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

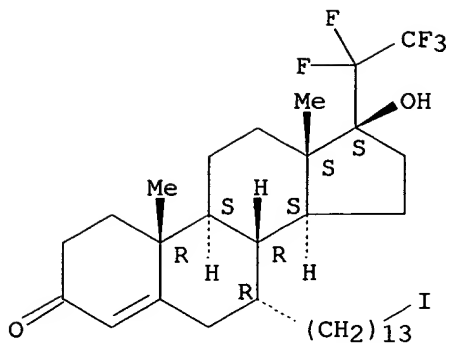
Absolute stereochemistry.



RN 278603-97-7 HCAPLUS

CN Pregn-4-en-3-one, 20,20,21,21,21-pentafluoro-17-hydroxy-7-(13-iodotridecyl)-, (7.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

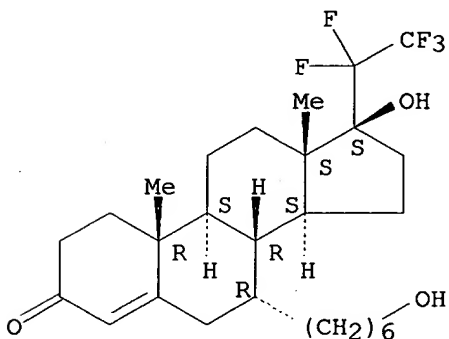
Absolute stereochemistry.



RN 278604-10-7 HCAPLUS

CN Pregn-4-en-3-one, 20,20,21,21,21-pentafluoro-17-hydroxy-7-(6-hydroxyhexyl)-, (7.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

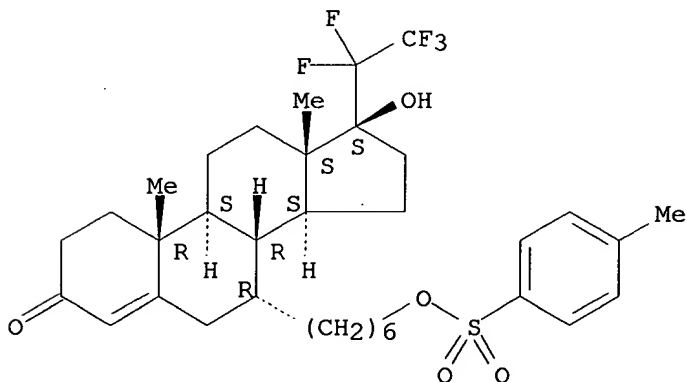
Absolute stereochemistry.



RN 278604-11-8 HCAPLUS

CN Pregn-4-en-3-one, 20,20,21,21,21-pentafluoro-17-hydroxy-7-[6-[[[4-methylphenyl)sulfonyl]oxy]hexyl]-, (7.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

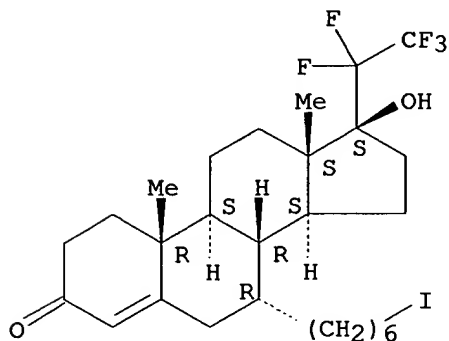
Absolute stereochemistry.



RN 278604-12-9 HCAPLUS

CN Pregn-4-en-3-one, 20,20,21,21,21-pentafluoro-17-hydroxy-7-(6-iodohexyl)-,  
(7.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

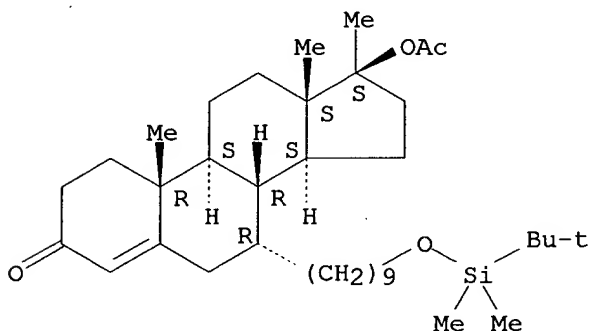
Absolute stereochemistry.



RN 278604-20-9 HCAPLUS

CN Androst-4-en-3-one, 17-(acetyloxy)-7-[9-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]nonyl]-17-methyl-, (7.alpha.,17.beta.)-  
(9CI) (CA INDEX NAME)

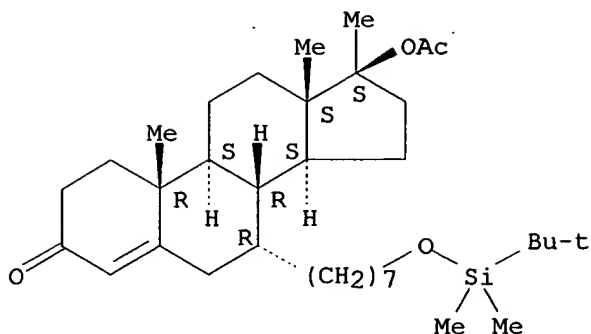
Absolute stereochemistry.



RN 278604-21-0 HCAPLUS

CN Androst-4-en-3-one, 17-(acetyloxy)-7-[7-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]heptyl]-17-methyl-, (7.alpha.,17.beta.)-  
(9CI) (CA INDEX NAME)

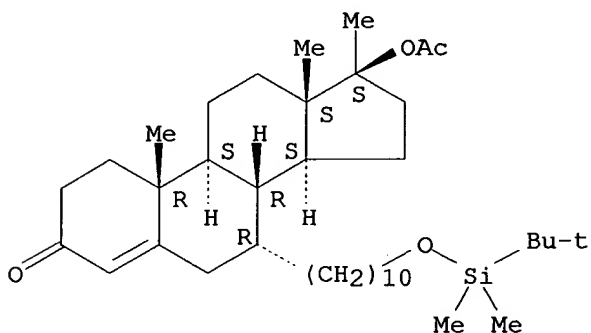
Absolute stereochemistry.



RN 278604-22-1 HCAPLUS

CN Androst-4-en-3-one, 17-(acetyloxy)-7-[10-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]decyl]-17-methyl-, (7.alpha.,17.beta.)-(9CI) (CA INDEX NAME)

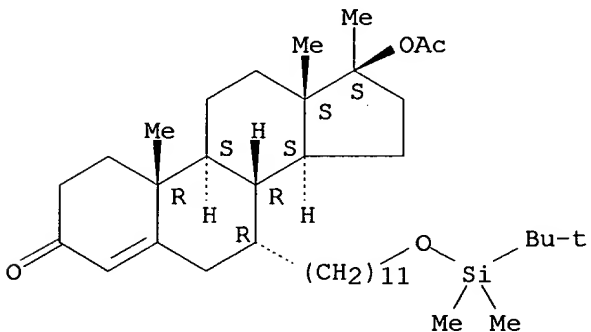
Absolute stereochemistry.



RN 278604-23-2 HCAPLUS

CN Androst-4-en-3-one, 17-(acetyloxy)-7-[11-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]undecyl]-17-methyl-, (7.alpha.,17.beta.)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

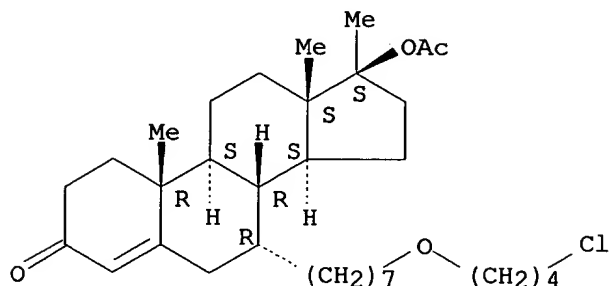


RN 278604-24-3 HCAPLUS



CN Androst-4-en-3-one, 17-(acetyloxy)-7-[7-(4-chlorobutoxy)heptyl]-17-methyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

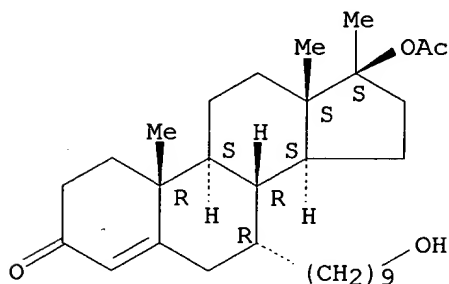
Absolute stereochemistry.



RN 278604-25-4 HCAPLUS

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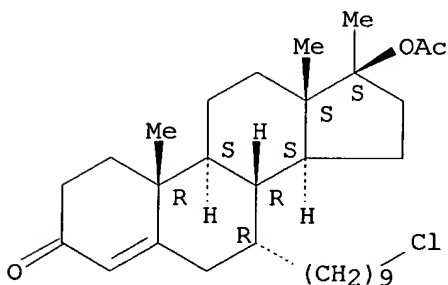
Absolute stereochemistry.



RN 278604-26-5 HCAPLUS

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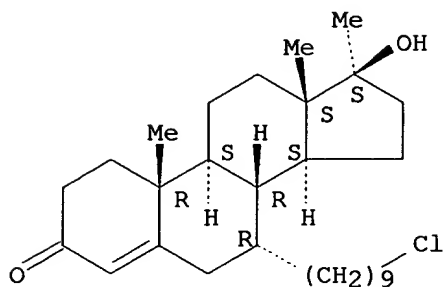
Absolute stereochemistry.



RN 278604-27-6 HCAPLUS

CN Androst-4-en-3-one, 7-(9-chlorononyl)-17-hydroxy-17-methyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

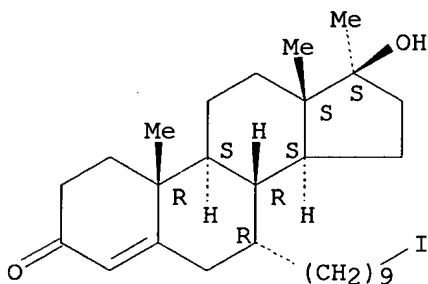
Absolute stereochemistry.



RN 278604-28-7 HCAPLUS

CN Androst-4-en-3-one, 17-hydroxy-7-(9-iodononyl)-17-methyl-,  
(7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

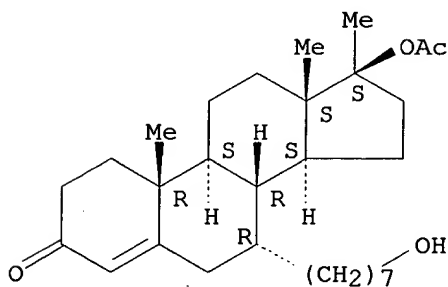
Absolute stereochemistry.



RN 278604-30-1 HCAPLUS

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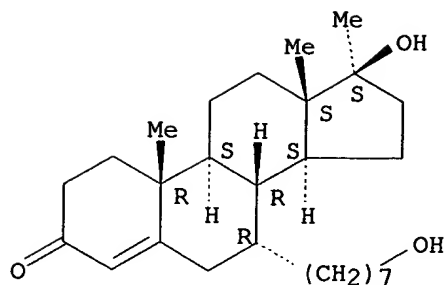
Absolute stereochemistry.



RN 278604-31-2 HCAPLUS

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(7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

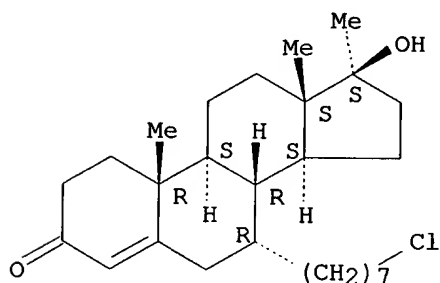
Absolute stereochemistry.



RN 278604-32-3 HCAPLUS

CN Androst-4-en-3-one, 7-(7-chloroheptyl)-17-hydroxy-17-methyl-,  
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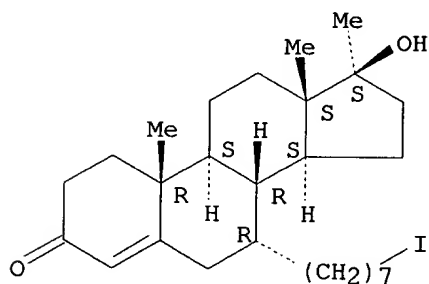
Absolute stereochemistry.



RN 278604-33-4 HCAPLUS

CN Androst-4-en-3-one, 17-hydroxy-7-(7-iodoheptyl)-17-methyl-,  
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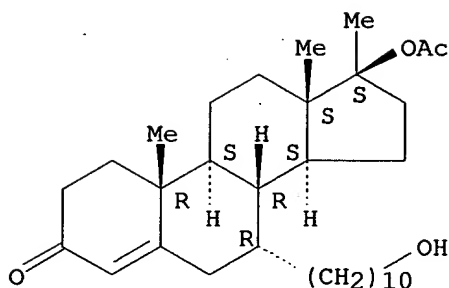
Absolute stereochemistry.



RN 278604-35-6 HCAPLUS

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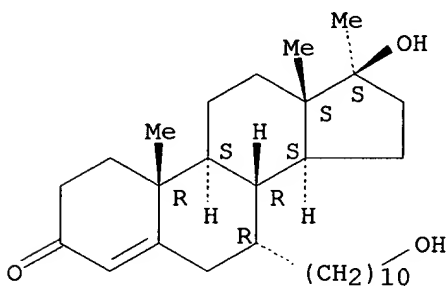
Absolute stereochemistry.



RN 278604-36-7 HCAPLUS

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(7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

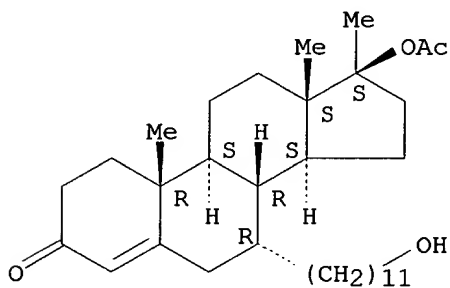
Absolute stereochemistry.



RN 278604-38-9 HCAPLUS

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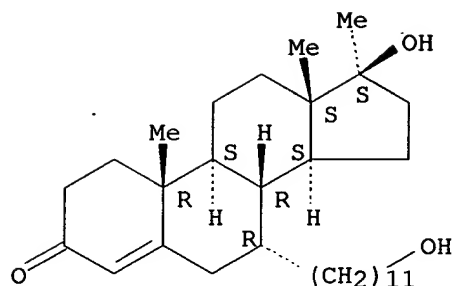
Absolute stereochemistry.



RN 278604-39-0 HCAPLUS

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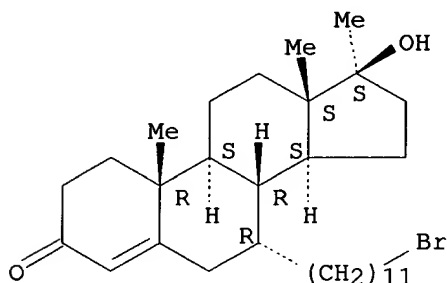
Absolute stereochemistry.



RN 278604-40-3 HCAPLUS

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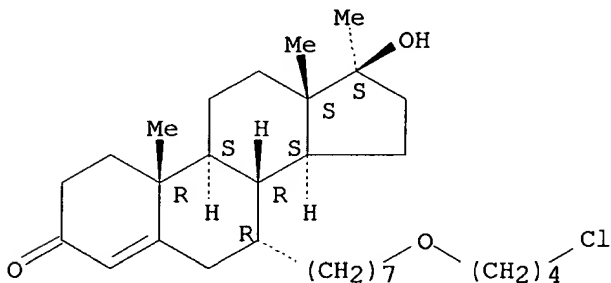
Absolute stereochemistry.



RN 278604-41-4 HCAPLUS

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(7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

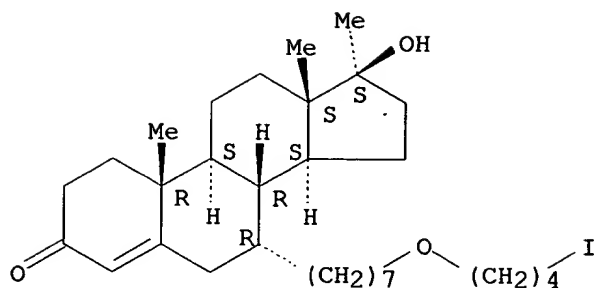
Absolute stereochemistry.



RN 278604-42-5 HCAPLUS

CN Androst-4-en-3-one, 17-hydroxy-7-[7-(4-iodobutoxy)heptyl]-17-methyl-,  
(7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

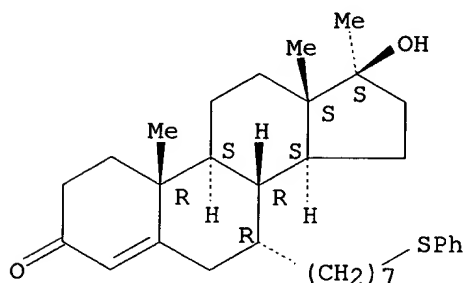
Absolute stereochemistry.



RN 278604-43-6 HCAPLUS

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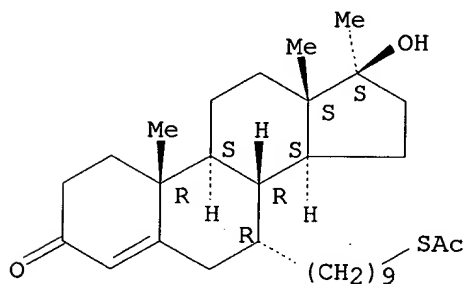
Absolute stereochemistry.



RN 278604-46-9 HCAPLUS

CN Androst-4-en-3-one, 7-[9-(acetylthio)nonyl]-17-hydroxy-17-methyl-,  
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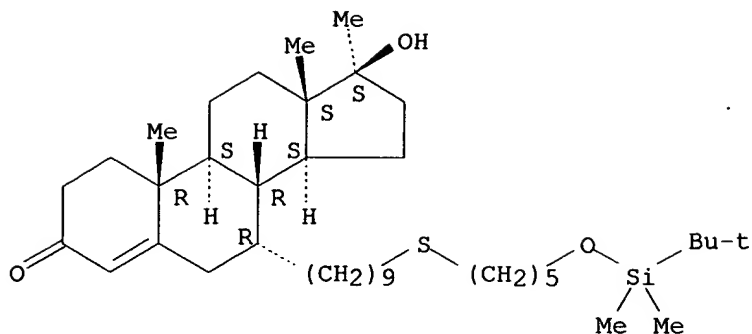
Absolute stereochemistry.



RN 278604-52-7 HCAPLUS

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NAME)

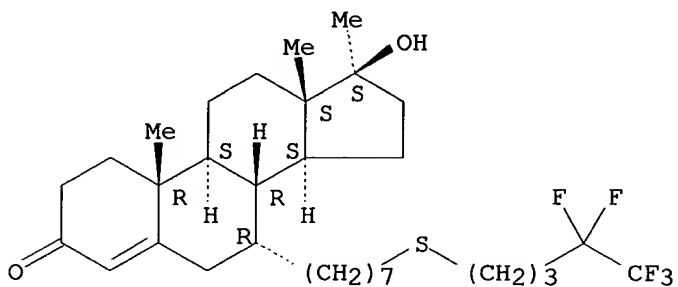
Absolute stereochemistry.



RN 278604-57-2 HCAPLUS

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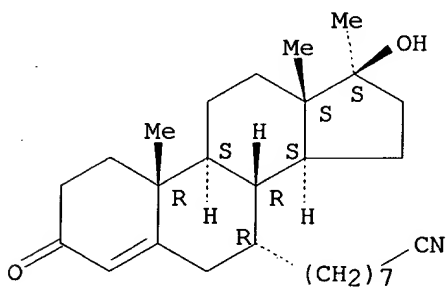
Absolute stereochemistry.



RN 278604-60-7 HCAPLUS

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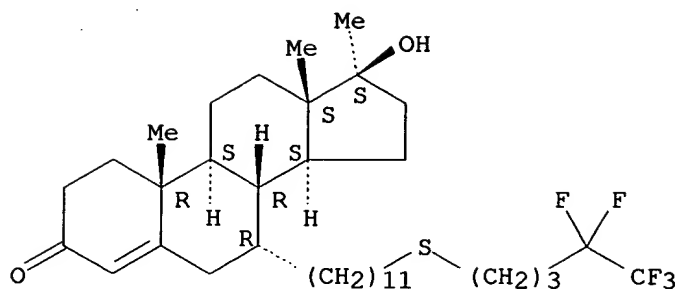
Absolute stereochemistry.



RN 278604-66-3 HCAPLUS

CN Androst-4-en-3-one, 17-hydroxy-17-methyl-7-[11-[(4,4,5,5,5-pentafluoropentyl)thio]undecyl]-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

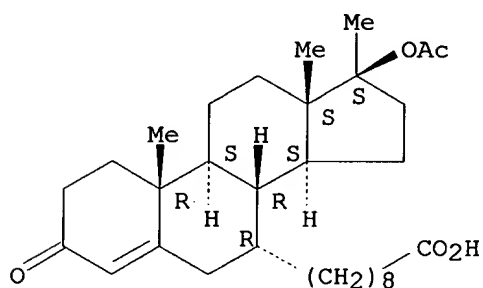
Absolute stereochemistry.



RN 278604-79-8 HCAPLUS

CN Androst-4-ene-7-nonanoic acid, 17-(acetyloxy)-17-methyl-3-oxo-,  
(7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

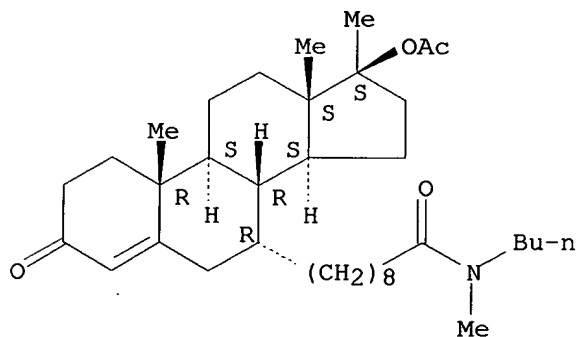
Absolute stereochemistry.



RN 278604-80-1 HCAPLUS

CN Androst-4-ene-7-nonanamide, 17-(acetyloxy)-N-butyl-N,17-dimethyl-3-oxo-,  
(7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

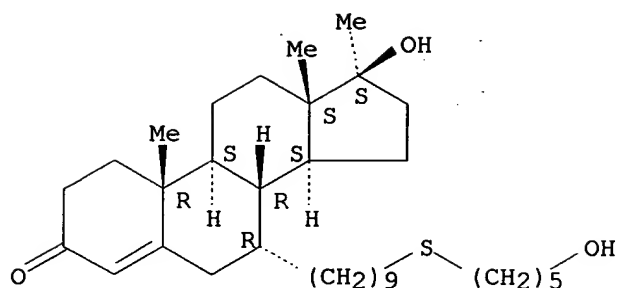


RN 278605-00-8 HCAPLUS

CN Androst-4-en-3-one, 17-hydroxy-7-[9-[(5-hydroxypentyl)thio]nonyl]-17-  
methyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

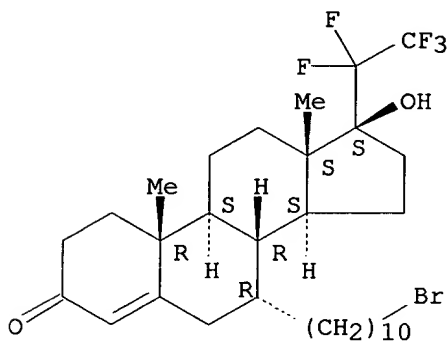




RN 278605-02-0 HCAPLUS

CN Pregn-4-en-3-one, 7-(10-bromodecyl)-20,20,21,21,21-pentafluoro-17-hydroxy-, (7.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

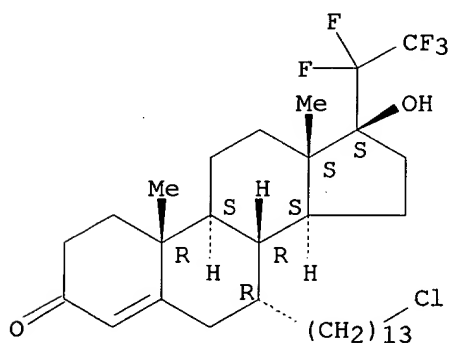
Absolute stereochemistry.



RN 278605-05-3 HCAPLUS

CN Pregn-4-en-3-one, 7-(13-chlorotridecyl)-20,20,21,21,21-pentafluoro-17-hydroxy-, (7.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

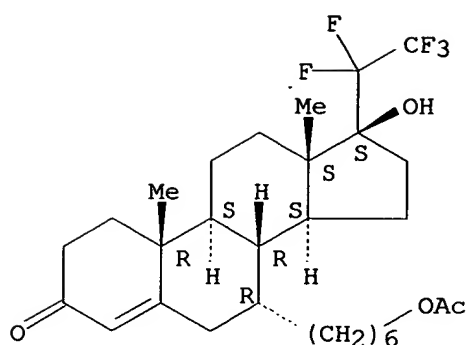
Absolute stereochemistry.



RN 278605-09-7 HCAPLUS

CN Pregn-4-en-3-one, 7-[6-(acetyloxy)hexyl]-20,20,21,21,21-pentafluoro-17-hydroxy-, (7.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



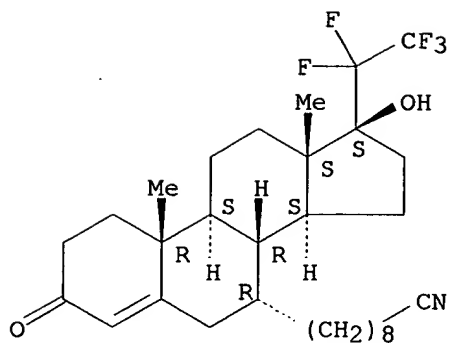
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278604-88-9P 278604-89-0P 278604-90-3P  
278604-91-4P 278604-92-5P 278604-93-6P  
278604-94-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of new testosterone derivs. and their use in the long-term therapy of androgen-dependent illnesses)

RN 278603-70-6 HCAPLUS

CN Pregn-4-ene-7-nonanenitrile, 20,20,21,21,21-pentafluoro-17-hydroxy-3-oxo-,  
(7.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

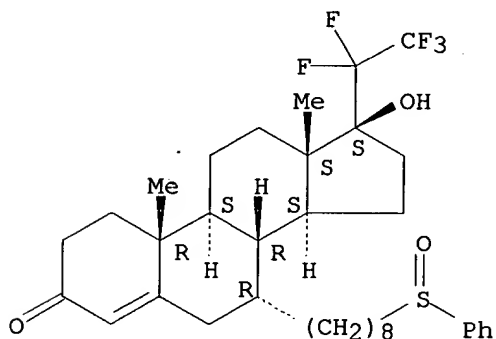
Absolute stereochemistry.



RN 278603-74-0 HCAPLUS

CN Pregn-4-en-3-one, 20,20,21,21,21-pentafluoro-17-hydroxy-7-[8-(phenylsulfinyloctyl)]-, (7.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

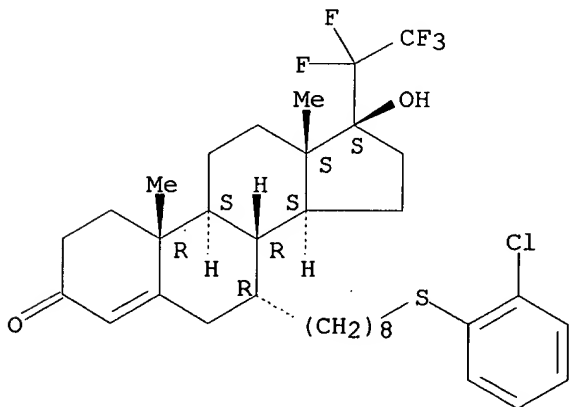
Absolute stereochemistry.



RN 278603-75-1 HCAPLUS

CN Pregn-4-en-3-one, 7-[8-[(2-chlorophenyl)thio]octyl]-20,20,21,21,21-pentafluoro-17-hydroxy-, (7.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

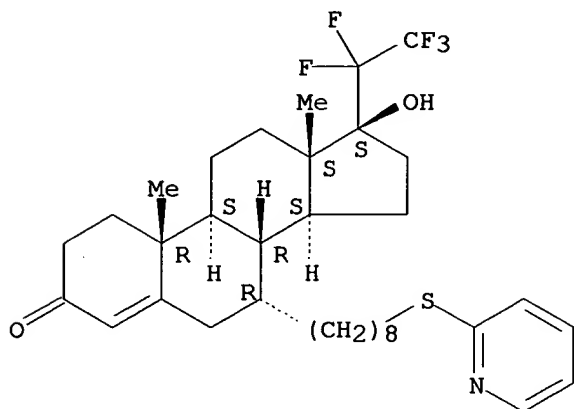
Absolute stereochemistry.



RN 278603-76-2 HCAPLUS

CN Pregn-4-en-3-one, 20,20,21,21,21-pentafluoro-17-hydroxy-7-[8-(2-pyridinylthio)octyl]-, (7.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

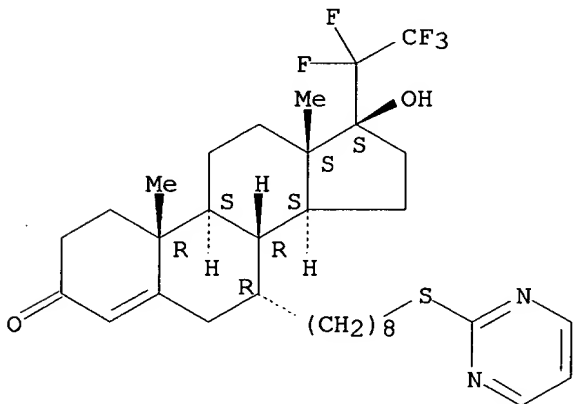
Absolute stereochemistry.



RN 278603-77-3 HCAPLUS

CN Pregn-4-en-3-one, 20,20,21,21,21-pentafluoro-17-hydroxy-7-[8-(2-pyrimidinylthio)octyl]-, (7.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

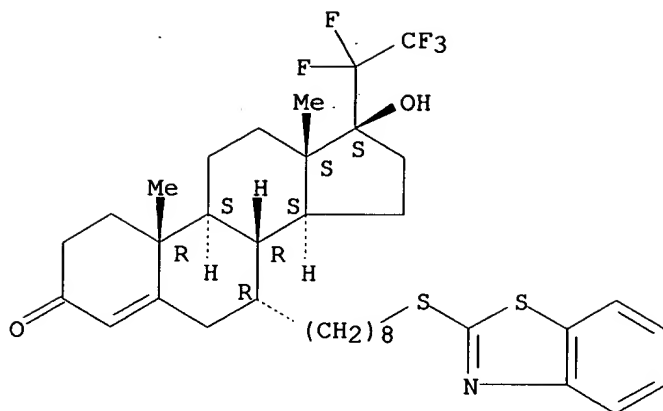
Absolute stereochemistry.



RN 278603-79-5 HCAPLUS

CN Pregn-4-en-3-one, 7-[8-(2-benzothiazolylthio)octyl]-20,20,21,21,21-pentafluoro-17-hydroxy-, (7.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

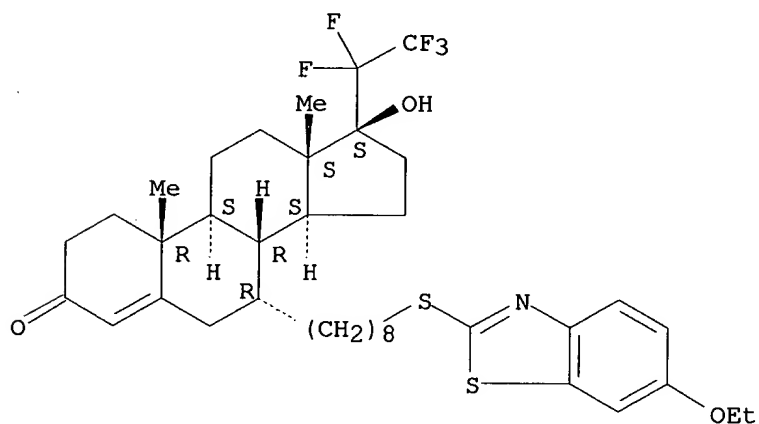
Absolute stereochemistry.



RN 278603-81-9 HCAPLUS

CN Pregn-4-en-3-one, 7-[8-[(6-ethoxy-2-benzothiazolyl)thio]octyl]-  
20,20,21,21,21-pentafluoro-17-hydroxy-, (7.alpha.,17.alpha.)- (9CI) (CA  
INDEX NAME)

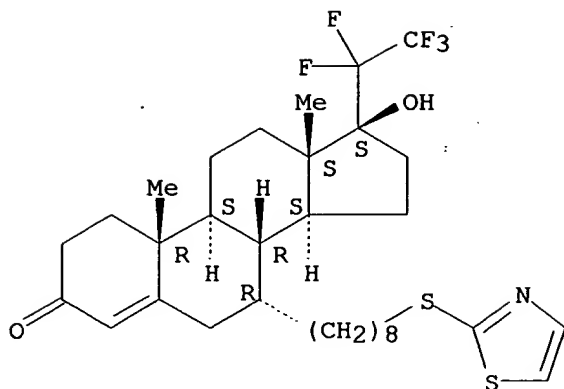
Absolute stereochemistry.



RN 278603-82-0 HCAPLUS

CN Pregn-4-en-3-one, 20,20,21,21,21-pentafluoro-17-hydroxy-7-[8-(2-  
thiazolylthio)octyl]-, (7.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

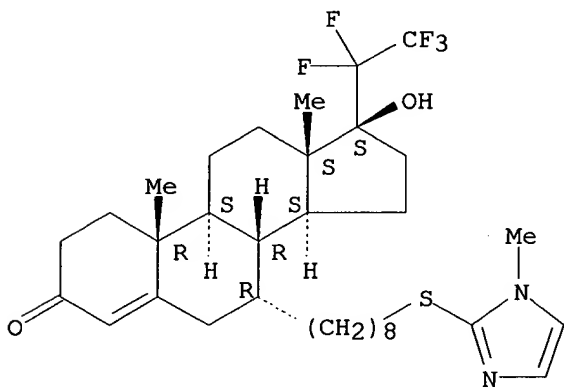
Absolute stereochemistry.



RN 278603-83-1 HCAPLUS

CN Pregn-4-en-3-one, 20,20,21,21,21-pentafluoro-17-hydroxy-7-[8-[(1-methyl-1H-imidazol-2-yl)thio]octyl]-, (7.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

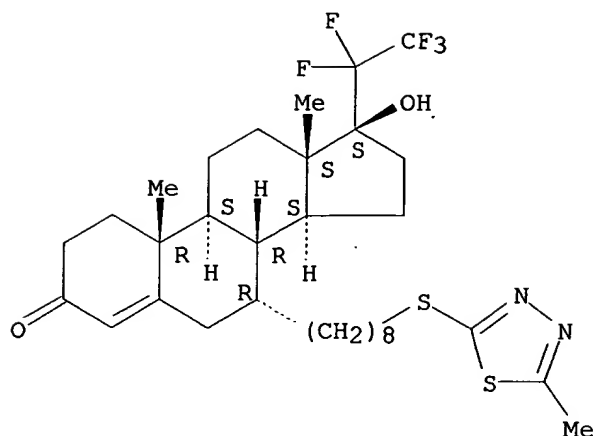
Absolute stereochemistry.



RN 278603-84-2 HCAPLUS

CN Pregn-4-en-3-one, 20,20,21,21,21-pentafluoro-17-hydroxy-7-[8-[(5-methyl-1,3,4-thiadiazol-2-yl)thio]octyl]-, (7.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

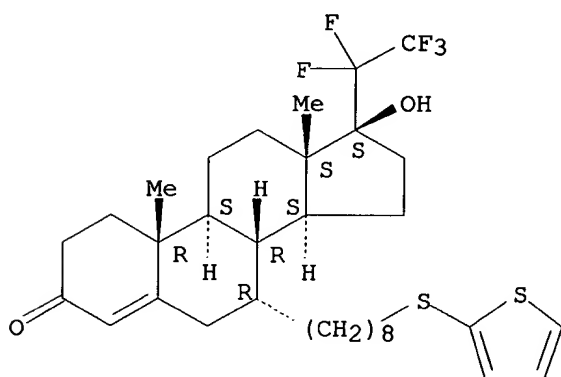
Absolute stereochemistry.



RN 278603-85-3 HCAPLUS

CN Pregn-4-en-3-one, 20,20,21,21,21-pentafluoro-17-hydroxy-7-[8-(2-thienylthio)octyl]-, (7.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

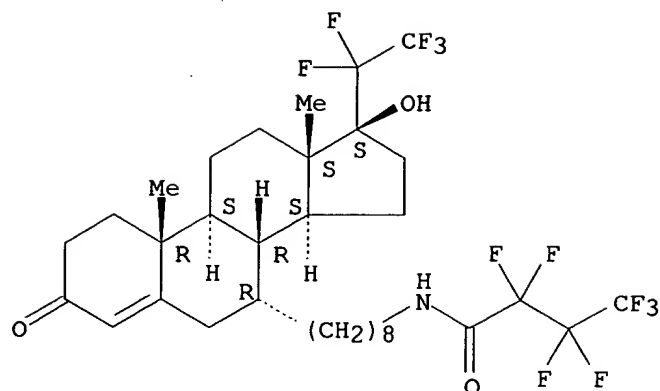
Absolute stereochemistry.



RN 278603-86-4 HCAPLUS

CN Butanamide, 2,2,3,3,4,4,4-heptafluoro-N-[8-[(7.alpha.,17.alpha.)-20,20,21,21,21-pentafluoro-17-hydroxy-3-oxopregn-4-en-7-yl]octyl]- (9CI) (CA INDEX NAME)

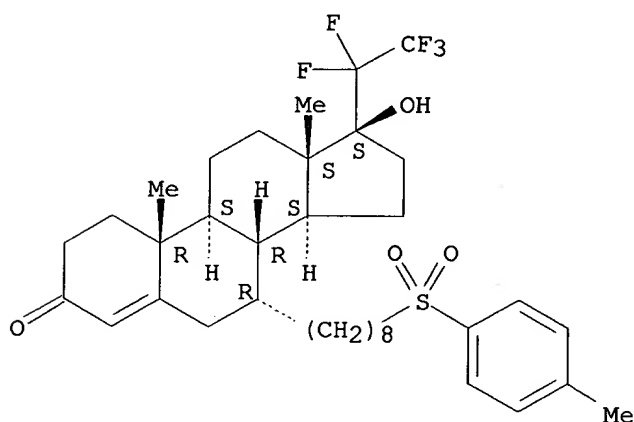
Absolute stereochemistry.



RN 278603-88-6 HCAPLUS

CN Pregn-4-en-3-one, 20,20,21,21,21-pentafluoro-17-hydroxy-7-[8-[(4-methylphenyl)sulfonyl]octyl]-, (7.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

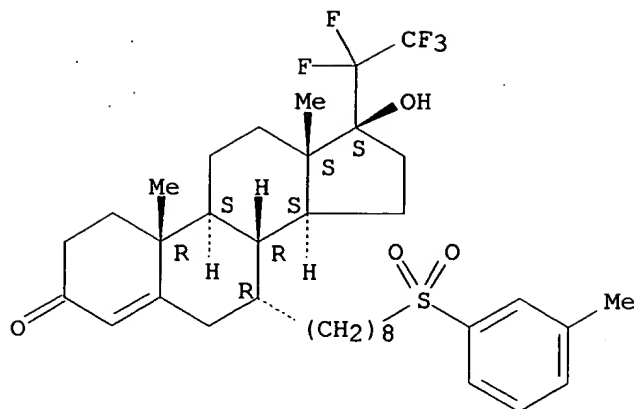


RN 278603-89-7 HCAPLUS

CN Pregn-4-en-3-one, 20,20,21,21,21-pentafluoro-17-hydroxy-7-[8-[(3-methylphenyl)sulfonyl]octyl]-, (7.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

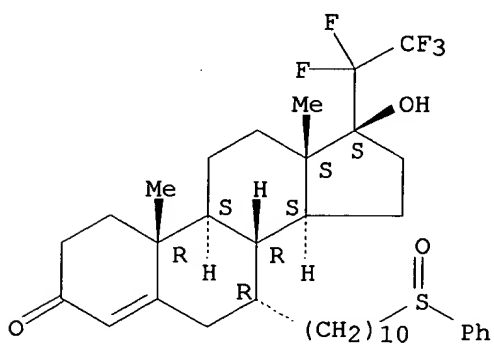




RN 278603-94-4 HCAPLUS

CN Pregn-4-en-3-one, 20,20,21,21,21-pentafluoro-17-hydroxy-7-[10-(phenylsulfinyl)decyl]-, (7.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

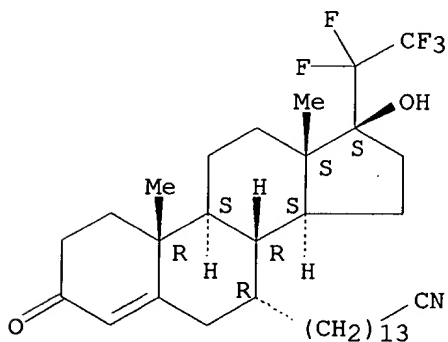
Absolute stereochemistry.



RN 278603-98-8 HCAPLUS

CN Pregn-4-ene-7-tetradecanenitrile, 20,20,21,21,21-pentafluoro-17-hydroxy-3-oxo-, (7.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

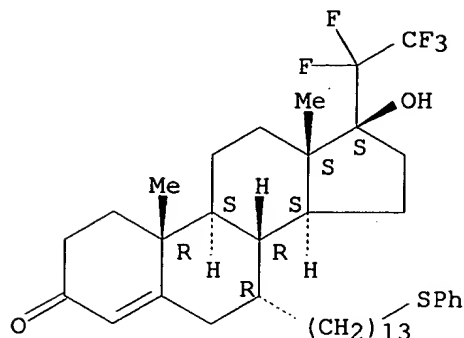
Absolute stereochemistry.



RN 278604-00-5 HCAPLUS

CN Pregn-4-en-3-one, 20,20,21,21,21-pentafluoro-17-hydroxy-7-[13-(phenylthio)tridecyl]-, (7.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

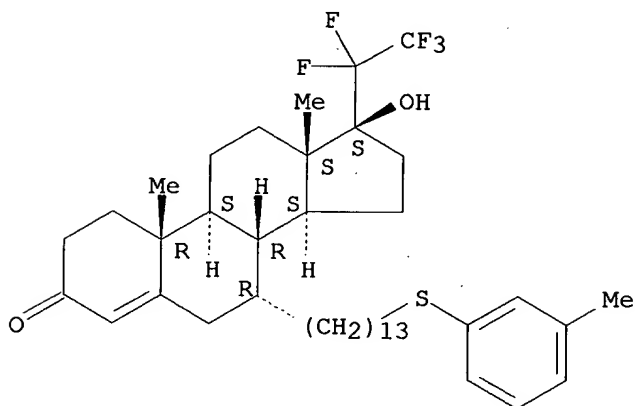
Absolute stereochemistry.



RN 278604-01-6 HCAPLUS

CN Pregn-4-en-3-one, 20,20,21,21,21-pentafluoro-17-hydroxy-7-[13-[(3-methylphenyl)thio]tridecyl]-, (7.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

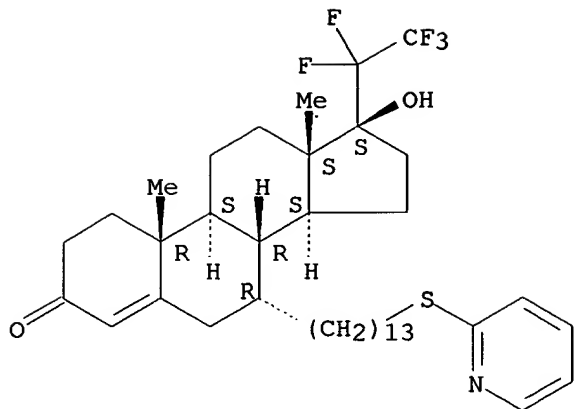
Absolute stereochemistry.



RN 278604-02-7 HCAPLUS

CN Pregn-4-en-3-one, 20,20,21,21,21-pentafluoro-17-hydroxy-7-[13-(2-pyridinylthio)tridecyl]-, (7.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

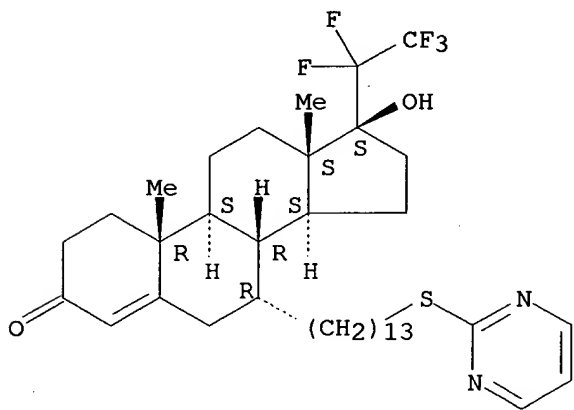
Absolute stereochemistry.



RN 278604-03-8 HCAPLUS

Pregn-4-en-3-one, 20,20,21,21,21-pentafluoro-17-hydroxy-7-[13-(2-pyrimidinylthio)tridecyl]-, (7.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

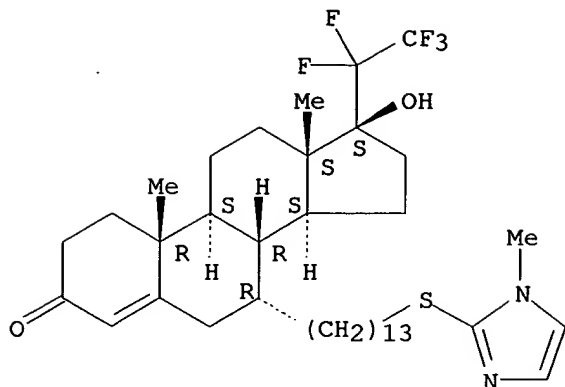
Absolute stereochemistry.



RN 278604-04-9 HCAPLUS

CN Pregna-4-en-3-one, 20,20,21,21,21-pentafluoro-17-hydroxy-7-[13-[(1-methyl-1H-imidazol-2-yl)thio]tridecyl]-, (7.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

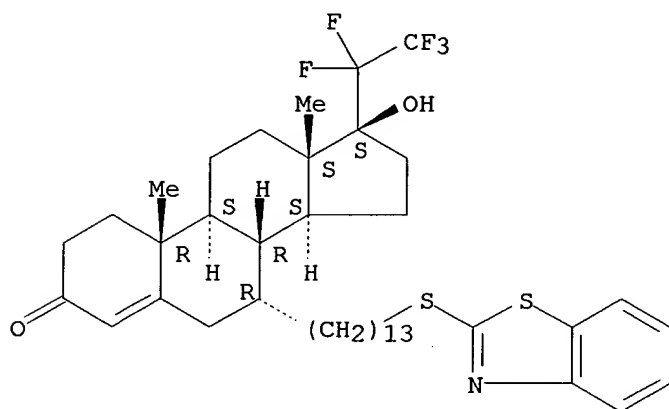
Absolute stereochemistry.



RN 278604-05-0 HCAPLUS

CN Pregn-4-en-3-one, 7-[13-(2-benzothiazolylthio)tridecyl]-20,20,21,21,21-pentafluoro-17-hydroxy-, (7.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

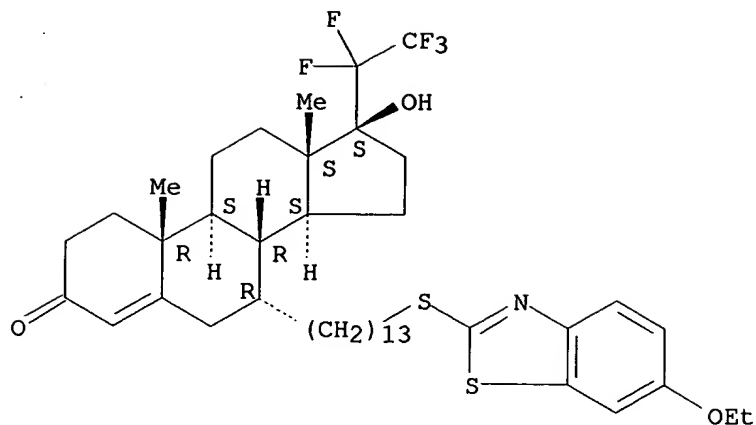
Absolute stereochemistry.



RN 278604-06-1 HCAPLUS

CN Pregn-4-en-3-one, 7-[13-[(6-ethoxy-2-benzothiazolyl)thio]tridecyl]-20,20,21,21,21-pentafluoro-17-hydroxy-, (7.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

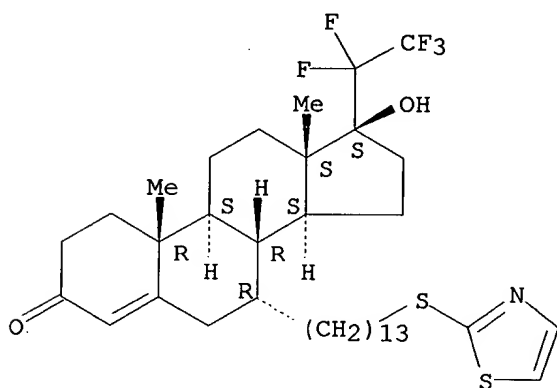
Absolute stereochemistry.



RN 278604-07-2 HCAPLUS

CN Pregn-4-en-3-one, 20,20,21,21,21-pentafluoro-17-hydroxy-7-[13-(2-thiazolylthio)tridecyl]-, (7.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

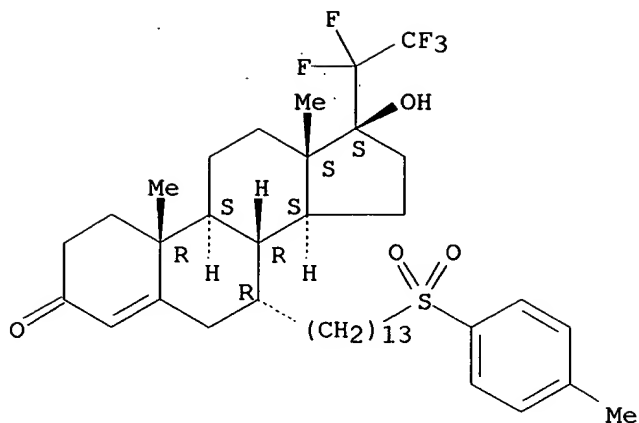
Absolute stereochemistry.



RN 278604-08-3 HCAPLUS

CN Pregn-4-en-3-one, 20,20,21,21,21-pentafluoro-17-hydroxy-7-[13-[(4-methylphenyl)sulfonyl]tridecyl]-, (7.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

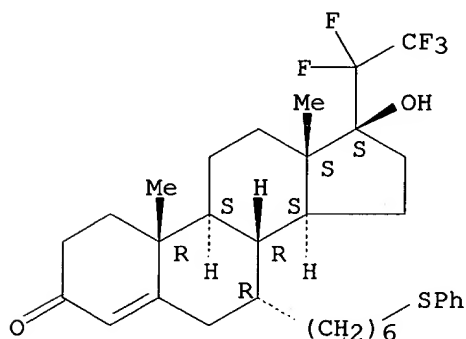
Absolute stereochemistry.



RN 278604-13-0 HCAPLUS

CN Pregn-4-en-3-one, 20,20,21,21,21-pentafluoro-17-hydroxy-7-[6-(phenylthio)hexyl]-, (7.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

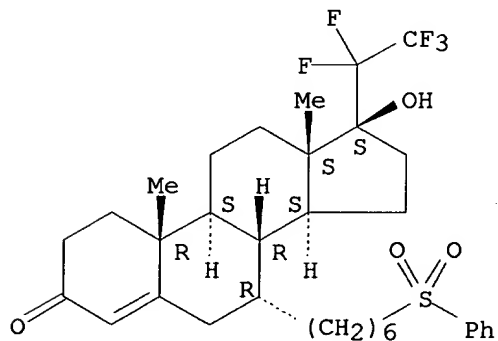
Absolute stereochemistry.



RN 278604-14-1 HCAPLUS

CN Pregn-4-en-3-one, 20,20,21,21,21-pentafluoro-17-hydroxy-7-[6-(phenylsulfonyl)hexyl]-, (7.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

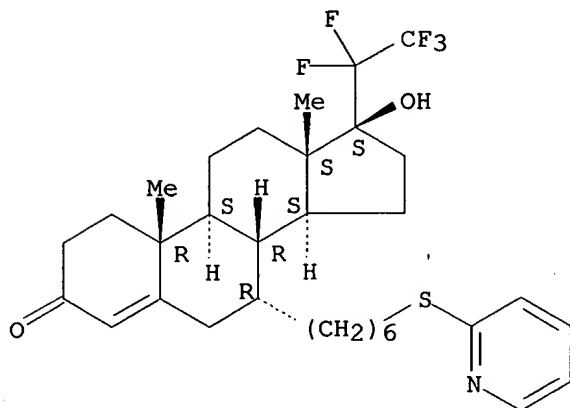
Absolute stereochemistry.



RN 278604-15-2 HCAPLUS

CN Pregn-4-en-3-one, 20,20,21,21,21-pentafluoro-17-hydroxy-7-[6-(2-pyridinylthio)hexyl]-, (7.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

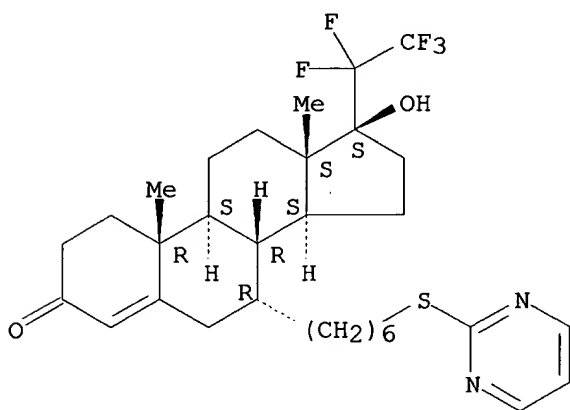
Absolute stereochemistry.



RN 278604-16-3 HCAPLUS

CN Pregn-4-en-3-one, 20,20,21,21,21-pentafluoro-17-hydroxy-7-[6-(2-pyrimidinylthio)hexyl]-, (7.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

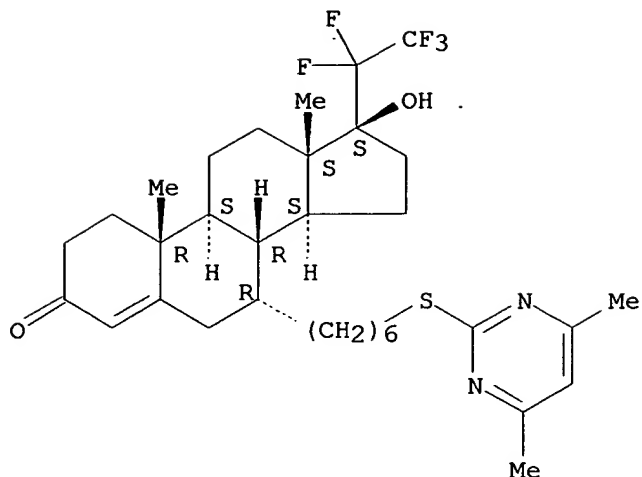
Absolute stereochemistry.



RN 278604-17-4 HCAPLUS

CN Pregn-4-en-3-one, 7-[6-[(4,6-dimethyl-2-pyrimidinyl)thio]hexyl]-20,20,21,21,21-pentafluoro-17-hydroxy-, (7.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

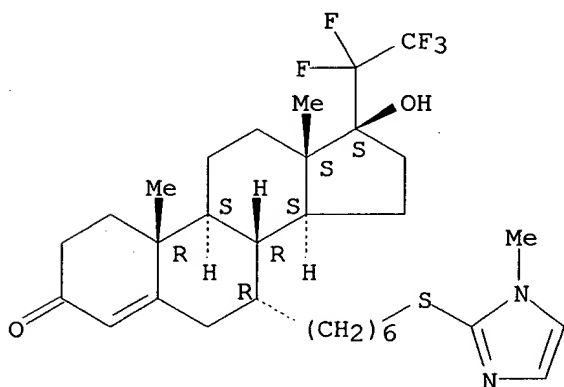
Absolute stereochemistry.



RN 278604-18-5 HCAPLUS

CN Pregn-4-en-3-one, 20,20,21,21,21-pentafluoro-17-hydroxy-7-[6-[(1-methyl-1H-imidazol-2-yl)thio]hexyl]-, (7.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

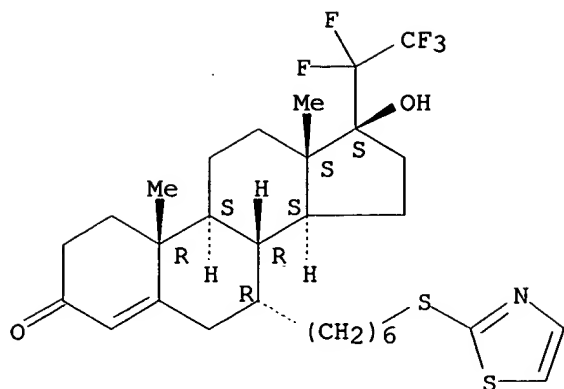


RN 278604-19-6 HCAPLUS

CN Pregn-4-en-3-one, 20,20,21,21,21-pentafluoro-17-hydroxy-7-[6-(2-thiazolylthio)hexyl]-, (7.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

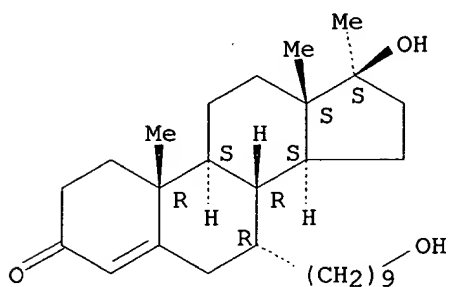




RN 278604-29-8 HCAPLUS

CN Androst-4-en-3-one, 17-hydroxy-7-(9-hydroxynonyl)-17-methyl-,  
(7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

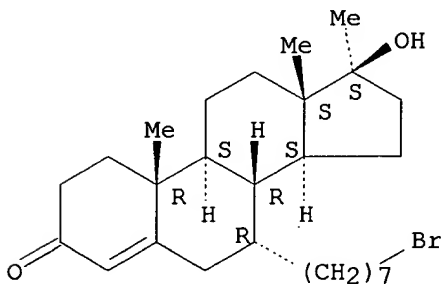
Absolute stereochemistry.



RN 278604-34-5 HCAPLUS

CN Androst-4-en-3-one, 7-(7-bromoheptyl)-17-hydroxy-17-methyl-,  
(7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

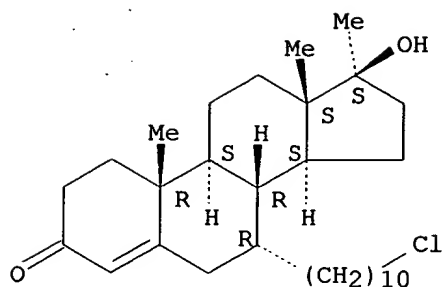
Absolute stereochemistry.



RN 278604-37-8 HCAPLUS

CN Androst-4-en-3-one, 7-(10-chlorodecyl)-17-hydroxy-17-methyl-,  
(7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

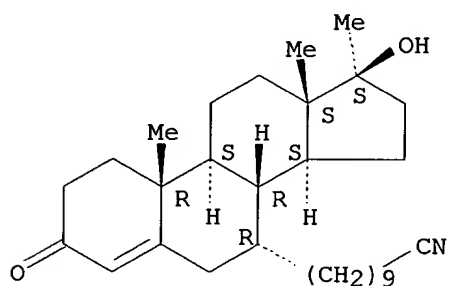
Absolute stereochemistry.



RN 278604-44-7 HCAPLUS

CN Androst-4-ene-7-decanenitrile, 17-hydroxy-17-methyl-3-oxo-,  
(7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

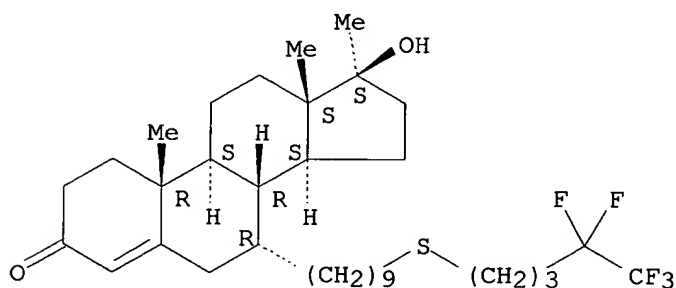
Absolute stereochemistry.



RN 278604-45-8 HCAPLUS

CN Androst-4-en-3-one, 17-hydroxy-17-methyl-7-[9-[(4,4,5,5,5-  
pentafluoropentyl)thio]nonyl]-, (7.alpha.,17.beta.)- (9CI) (CA INDEX  
NAME)

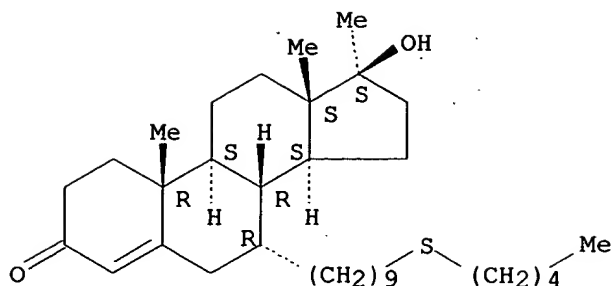
Absolute stereochemistry.



RN 278604-47-0 HCAPLUS

CN Androst-4-en-3-one, 17-hydroxy-17-methyl-7-[9-(pentylthio)nonyl]-,  
(7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

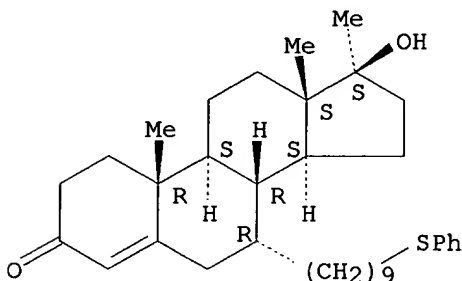
Absolute stereochemistry.



RN 278604-48-1 HCAPLUS

CN Androst-4-en-3-one, 17-hydroxy-17-methyl-7-[9-(phenylthio)nonyl]-,  
(7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

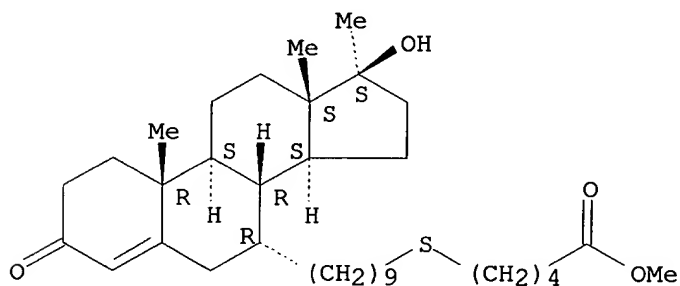
Absolute stereochemistry.



RN 278604-49-2 HCAPLUS

CN Pentanoic acid, 5-[[9-[(7.alpha.,17.beta.)-17-hydroxy-17-methyl-3-oxoandrost-4-en-7-yl]nonyl]thio]-, methyl ester (9CI) (CA INDEX NAME)

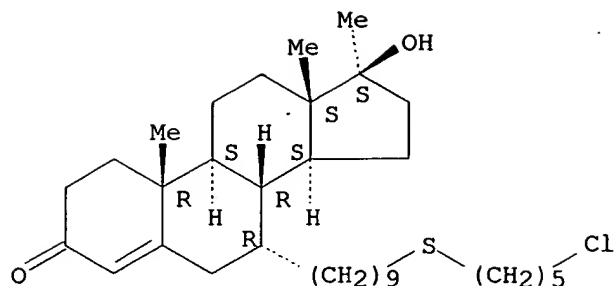
Absolute stereochemistry.



RN 278604-50-5 HCAPLUS

CN Androst-4-en-3-one, 7-[9-[(5-chloropentyl)thio]nonyl]-17-hydroxy-17-methyl-,  
(7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

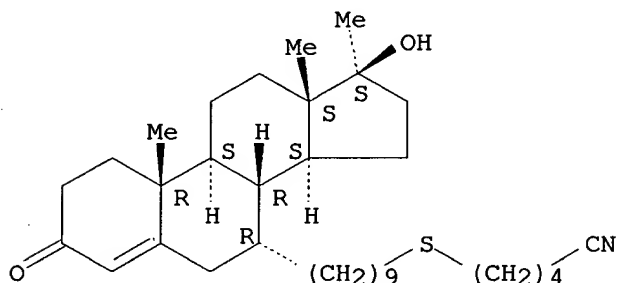
Absolute stereochemistry.



RN 278604-51-6 HCAPLUS

CN Pentanenitrile, 5-[[9-[(7.alpha.,17.beta.)-17-hydroxy-17-methyl-3-oxoandrost-4-en-7-yl]nonyl]thio]- (9CI) (CA INDEX NAME)

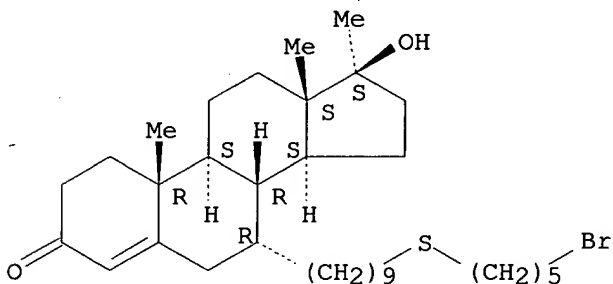
Absolute stereochemistry.



RN 278604-53-8 HCAPLUS

CN Androst-4-en-3-one, 7-[9-[(5-bromopentyl)thio]nonyl]-17-hydroxy-17-methyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

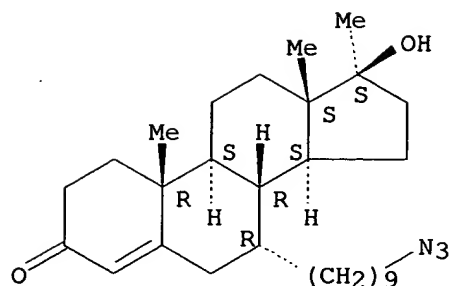
Absolute stereochemistry.



RN 278604-54-9 HCAPLUS

CN Androst-4-en-3-one, 7-(9-azidononyl)-17-hydroxy-17-methyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

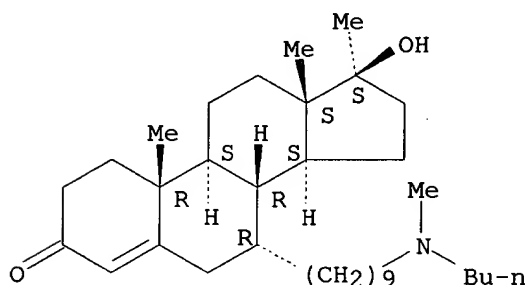
Absolute stereochemistry.



RN 278604-55-0 HCAPLUS

CN Androst-4-en-3-one, 7-[9-(butylmethylamino)nonyl]-17-hydroxy-17-methyl-,  
(7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

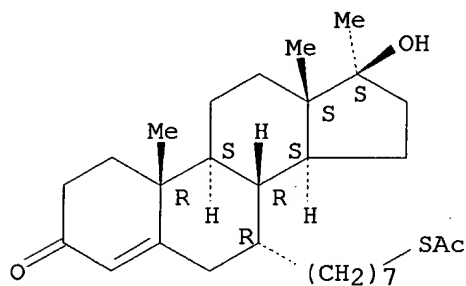
Absolute stereochemistry.



RN 278604-56-1 HCAPLUS

CN Androst-4-en-3-one, 7-[7-(acetylthio)heptyl]-17-hydroxy-17-methyl-,  
(7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

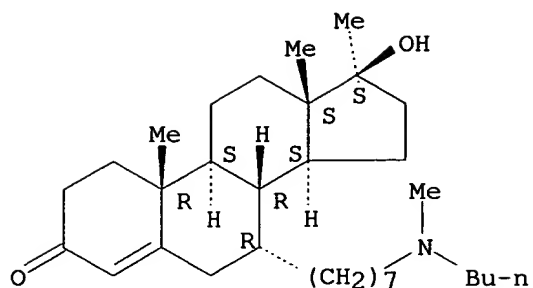
Absolute stereochemistry.



RN 278604-58-3 HCAPLUS

CN Androst-4-en-3-one, 7-[7-(butylmethylamino)heptyl]-17-hydroxy-17-methyl-,  
(7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

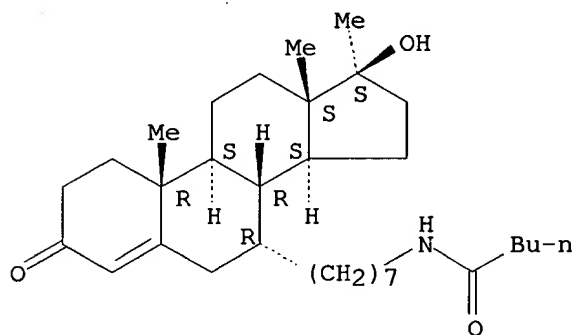
Absolute stereochemistry.



RN 278604-59-4 HCAPLUS

CN Pentanamide, N-[7-[(7.alpha.,17.beta.)-17-hydroxy-17-methyl-3-oxoandrost-4-en-7-yl]heptyl]- (9CI) (CA INDEX NAME)

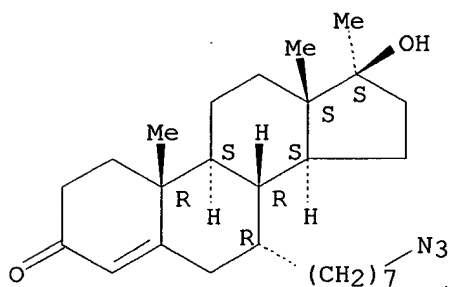
Absolute stereochemistry.



RN 278604-61-8 HCAPLUS

CN Androst-4-en-3-one, 7-(7-azidoheptyl)-17-hydroxy-17-methyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

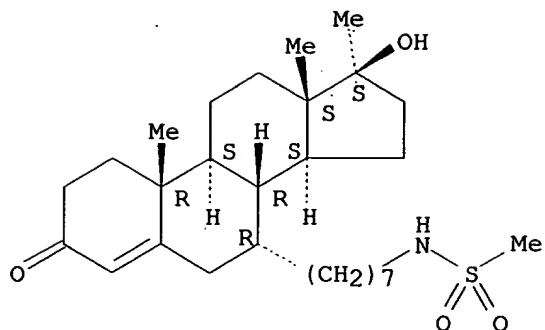
Absolute stereochemistry.



RN 278604-62-9 HCAPLUS

CN Methanesulfonamide, N-[7-[(7.alpha.,17.beta.)-17-hydroxy-17-methyl-3-oxoandrost-4-en-7-yl]heptyl]- (9CI) (CA INDEX NAME)

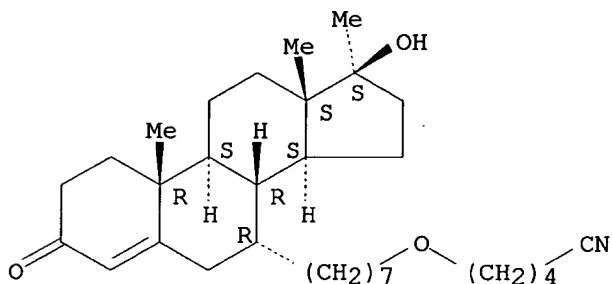
Absolute stereochemistry.



RN 278604-63-0 HCAPLUS

CN Pentanenitrile, 5-[[7-[(7.alpha.,17.beta.)-17-hydroxy-17-methyl-3-oxoandrost-4-en-7-yl]heptyl]oxy]- (9CI) (CA INDEX NAME)

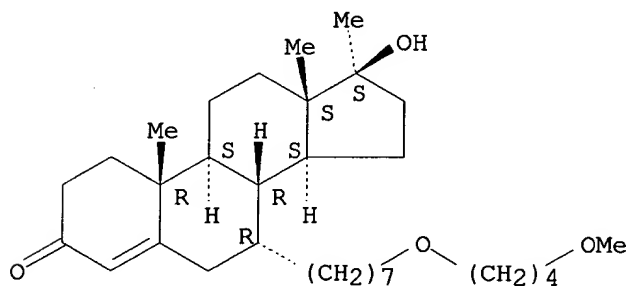
Absolute stereochemistry.



RN 278604-64-1 HCAPLUS

CN Androst-4-en-3-one, 17-hydroxy-7-[7-(4-methoxybutoxy)heptyl]-17-methyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

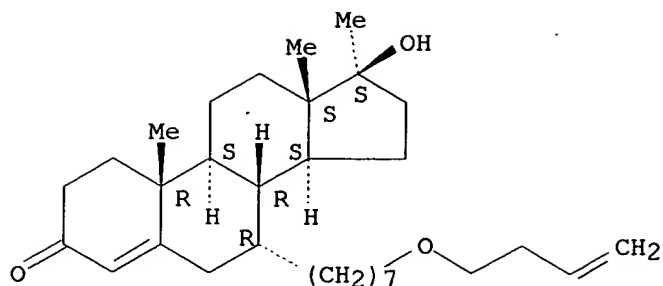
Absolute stereochemistry.



RN 278604-65-2 HCAPLUS

CN Androst-4-en-3-one, 7-[7-(3-butenyloxy)heptyl]-17-hydroxy-17-methyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

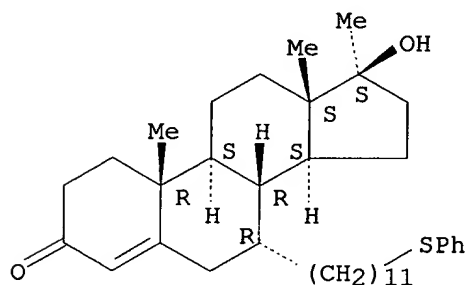
Absolute stereochemistry.



RN 278604-67-4 HCAPLUS

CN Androst-4-en-3-one, 17-hydroxy-17-methyl-7-[11-(phenylthio)undecyl]-,  
(7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

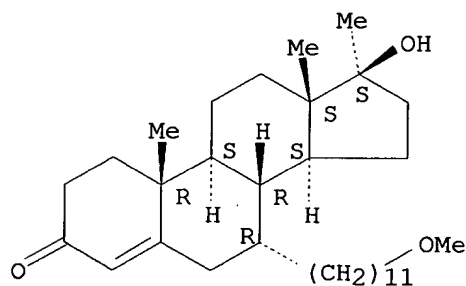
Absolute stereochemistry.



RN 278604-68-5 HCAPLUS

CN Androst-4-en-3-one, 17-hydroxy-7-(11-methoxyundecyl)-17-methyl-,  
(7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

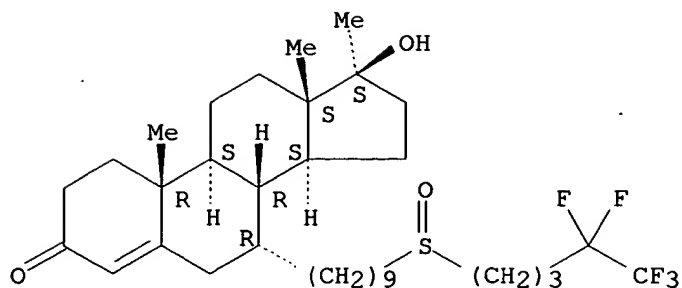


RN 278604-69-6 HCAPLUS

CN Androst-4-en-3-one, 17-hydroxy-17-methyl-7-[9-[(4,4,5,5,5-pentafluoropentyl)sulfinyl]nonyl]-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

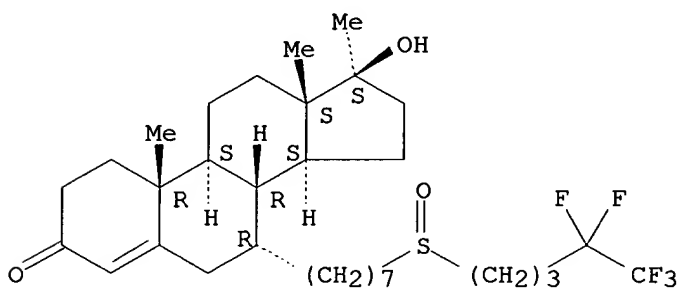




RN 278604-70-9 HCAPLUS

CN Androst-4-en-3-one, 17-hydroxy-17-methyl-7-[7-[(4,4,5,5,5-pentafluoropentyl)sulfinyl]heptyl]-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

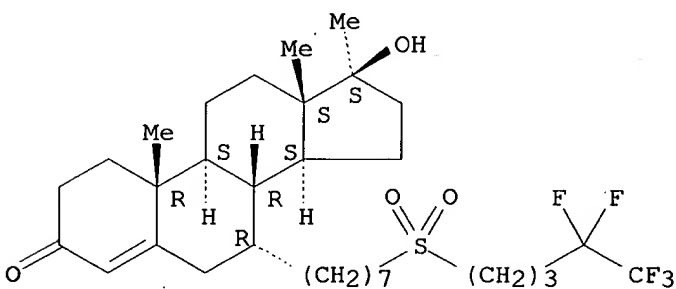
Absolute stereochemistry.



RN 278604-71-0 HCAPLUS

CN Androst-4-en-3-one, 17-hydroxy-17-methyl-7-[7-[(4,4,5,5,5-pentafluoropentyl)sulfonyl]heptyl]-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

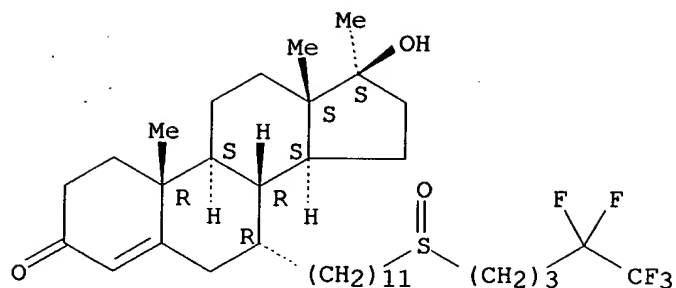
Absolute stereochemistry.



RN 278604-72-1 HCAPLUS

CN Androst-4-en-3-one, 17-hydroxy-17-methyl-7-[11-[(4,4,5,5,5-pentafluoropentyl)sulfinyl]undecyl]-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

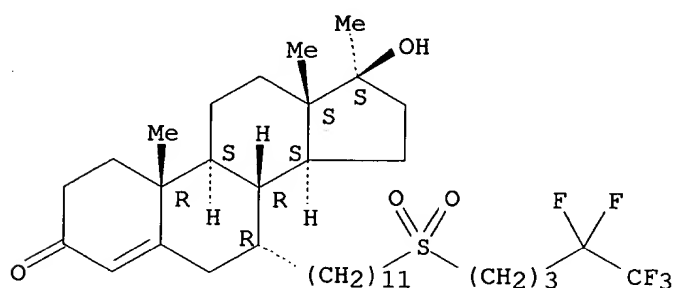
Absolute stereochemistry.



RN 278604-73-2 HCAPLUS

CN Androst-4-en-3-one, 17-hydroxy-17-methyl-7-[11-[(4,4,5,5,5-pentafluoropentyl)sulfonyl]undecyl]-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

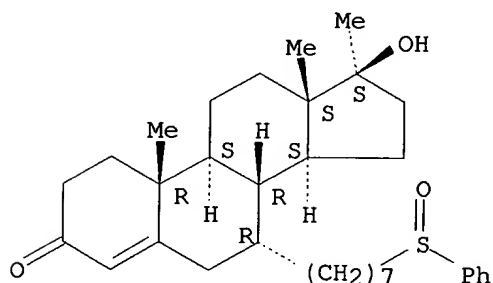
Absolute stereochemistry.



RN 278604-74-3 HCAPLUS

CN Androst-4-en-3-one, 17-hydroxy-17-methyl-7-[7-(phenylsulfinyl)heptyl]-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

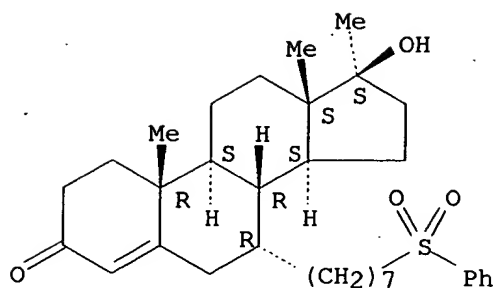
Absolute stereochemistry.



RN 278604-75-4 HCAPLUS

CN Androst-4-en-3-one, 17-hydroxy-17-methyl-7-[7-(phenylsulfonyl)heptyl]-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

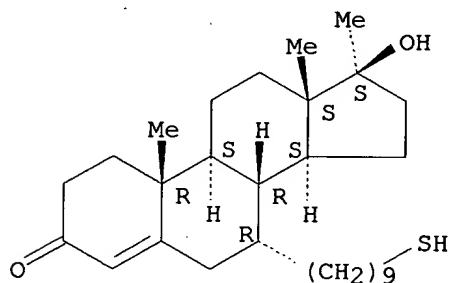
Absolute stereochemistry.



RN 278604-76-5 HCAPLUS

CN Androst-4-en-3-one, 17-hydroxy-7-(9-mercaptanononyl)-17-methyl-,  
(7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

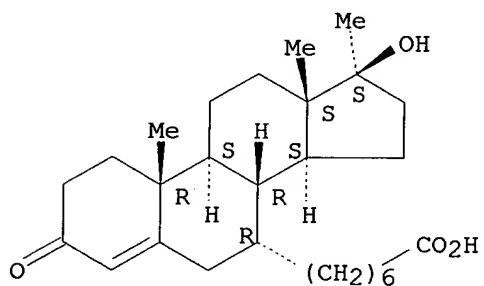
Absolute stereochemistry.



RN 278604-77-6 HCAPLUS

CN Androst-4-ene-7-heptanoic acid, 17-hydroxy-17-methyl-3-oxo-,  
(7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

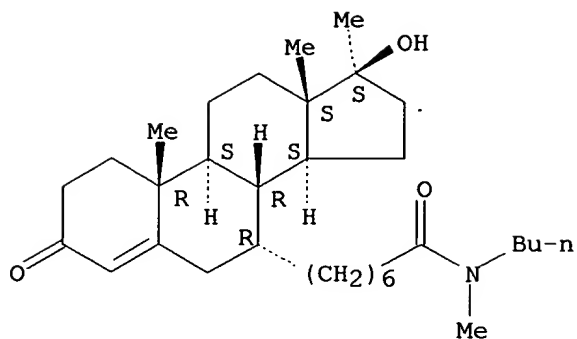
Absolute stereochemistry.



RN 278604-78-7 HCAPLUS

CN Androst-4-ene-7-heptanamide, N-butyl-17-hydroxy-N,17-dimethyl-3-oxo-,  
(7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

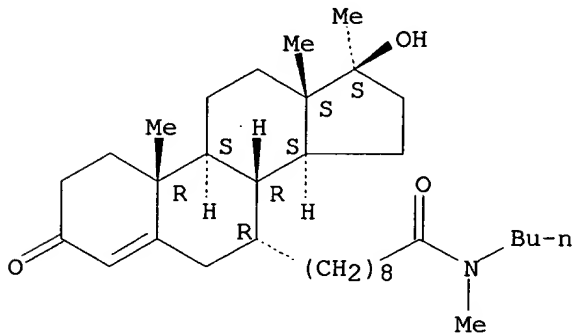
Absolute stereochemistry.



RN 278604-81-2 HCAPLUS

CN Androst-4-ene-7-nonanamide, N-butyl-17-hydroxy-N,17-dimethyl-3-oxo-,  
(7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

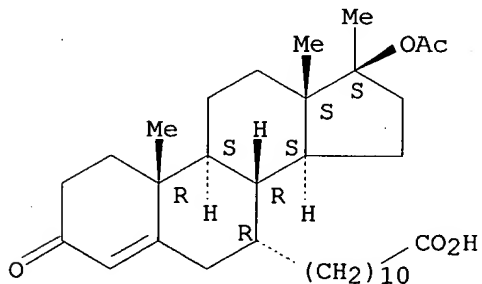
Absolute stereochemistry.



RN 278604-82-3 HCAPLUS

CN Androst-4-ene-7-undecanoic acid, 17-(acetyloxy)-17-methyl-3-oxo-,  
(7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

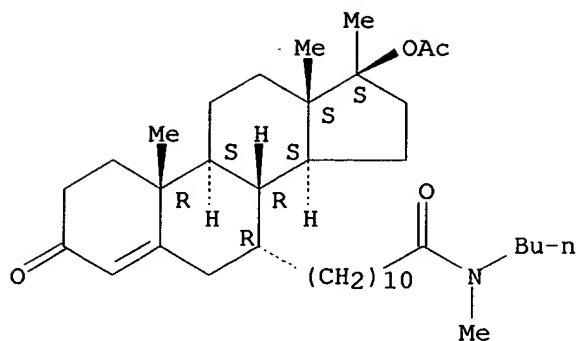
Absolute stereochemistry.



RN 278604-83-4 HCAPLUS

CN Androst-4-ene-7-undecanamide, 17-(acetyloxy)-N-butyl-N,17-dimethyl-3-oxo-,  
(7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

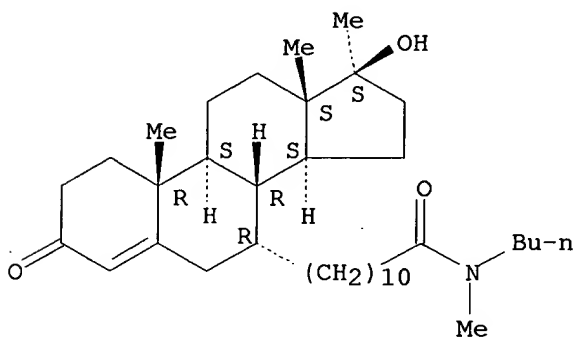
Absolute stereochemistry.



RN 278604-84-5 HCAPLUS

CN Androst-4-ene-7-undecanamide, N-butyl-17-hydroxy-N,17-dimethyl-3-oxo-,  
(7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

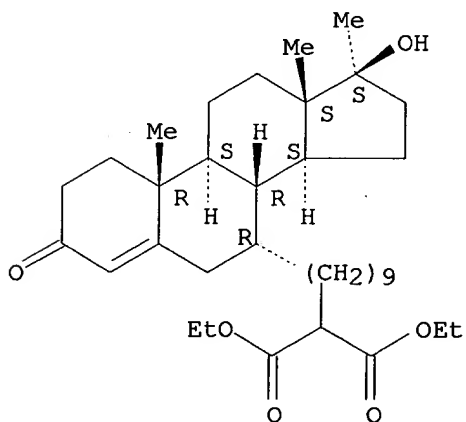
Absolute stereochemistry.



RN 278604-85-6 HCAPLUS

CN Propanedioic acid, [9-[(7.alpha.,17.beta.)-17-hydroxy-17-methyl-3-oxoandrost-4-en-7-yl]nonyl]-, diethyl ester (9CI) (CA INDEX NAME)

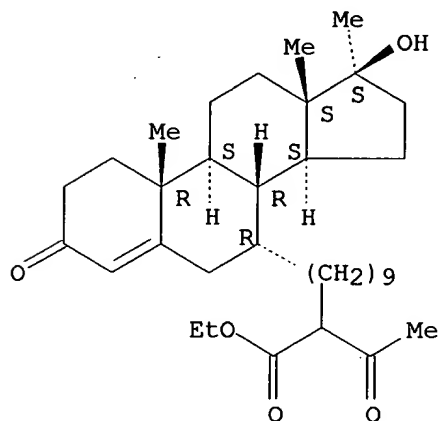
Absolute stereochemistry.



RN 278604-86-7 HCAPLUS

CN Androst-4-ene-7-undecanoic acid, .alpha.-acetyl-17-hydroxy-17-methyl-3-oxo-, ethyl ester, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

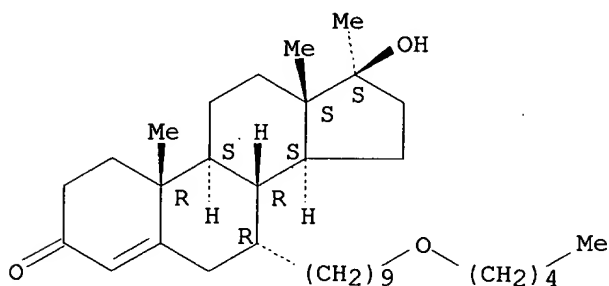
Absolute stereochemistry.



RN 278604-87-8 HCAPLUS

CN Androst-4-en-3-one, 17-hydroxy-17-methyl-7-[9-(pentyloxy)nonyl]-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

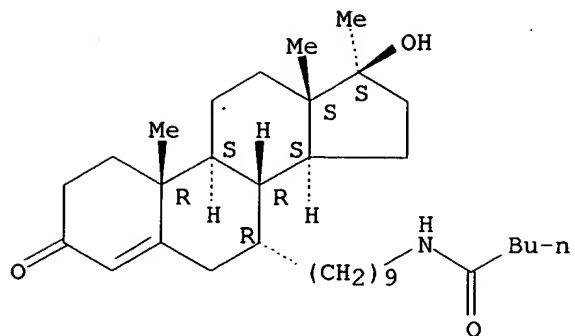
Absolute stereochemistry.



RN 278604-88-9 HCAPLUS

CN Pentanamide, N-[9-[(7.alpha.,17.beta.)-17-hydroxy-17-methyl-3-oxoandrost-4-en-7-yl]nonyl]- (9CI) (CA INDEX NAME)

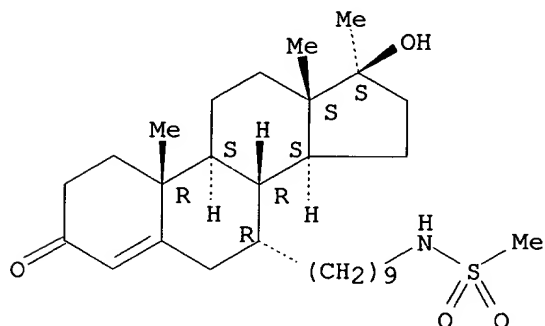
Absolute stereochemistry.



RN 278604-89-0 HCAPLUS

CN Methanesulfonamide, N-[9-[(7.alpha.,17.beta.)-17-hydroxy-17-methyl-3-oxoandrost-4-en-7-yl]nonyl]- (9CI) (CA INDEX NAME)

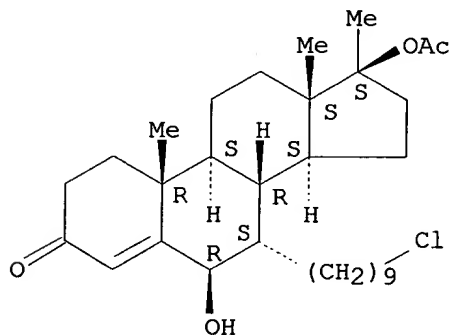
Absolute stereochemistry.



RN 278604-90-3 HCAPLUS

CN Androst-4-en-3-one, 17-(acetyloxy)-7-(9-chlorononyl)-6-hydroxy-17-methyl-, (6.beta.,7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

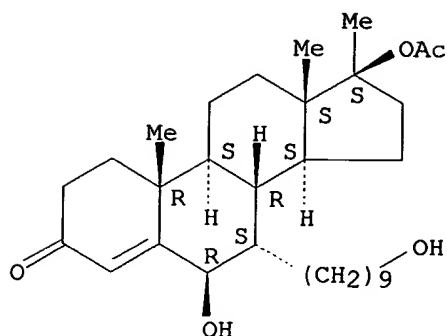
Absolute stereochemistry.



RN 278604-91-4 HCAPLUS

CN Androst-4-en-3-one, 17-(acetyloxy)-6-hydroxy-7-(9-hydroxynonyl)-17-methyl-, (6.beta.,7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

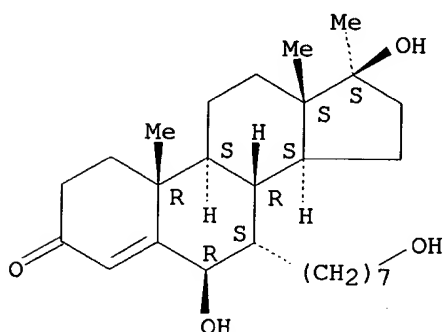
Absolute stereochemistry.



RN 278604-92-5 HCAPLUS

CN Androst-4-en-3-one, 6,17-dihydroxy-7-(7-hydroxyheptyl)-17-methyl-,  
(6.beta.,7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

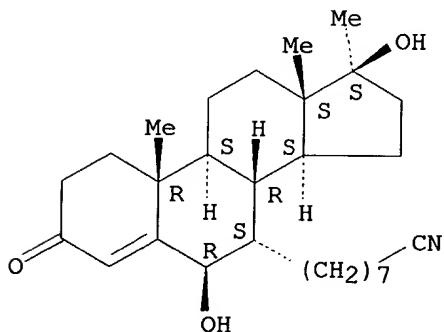
Absolute stereochemistry.



RN 278604-93-6 HCAPLUS

CN Androst-4-ene-7-octanenitrile, 6,17-dihydroxy-17-methyl-3-oxo-,  
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Absolute stereochemistry.

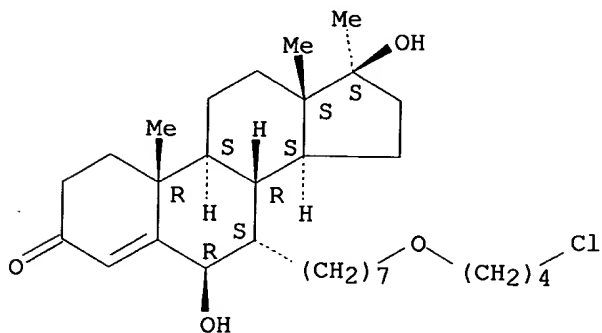




RN 278604-94-7 HCAPLUS

CN Androst-4-en-3-one, 7-[7-(4-chlorobutoxy)heptyl]-6,17-dihydroxy-17-methyl-, (6.beta.,7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

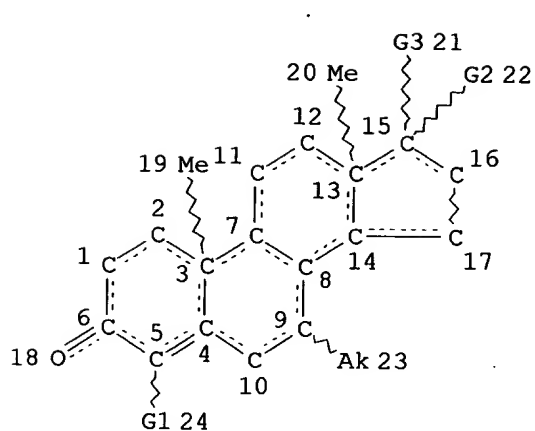
Absolute stereochemistry.



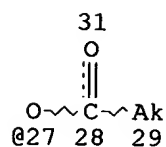
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TI Preparation of steroid derivatives

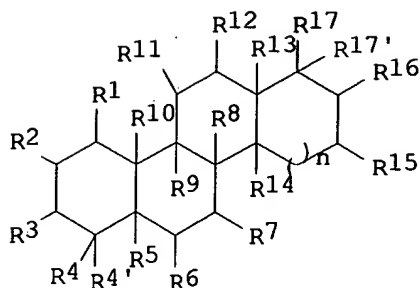
IN Liao, Shutsung; Song, Ching

PA Arch Development Corporation, USA  
 SO PCT Int. Appl., 67 pp.  
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 LA English  
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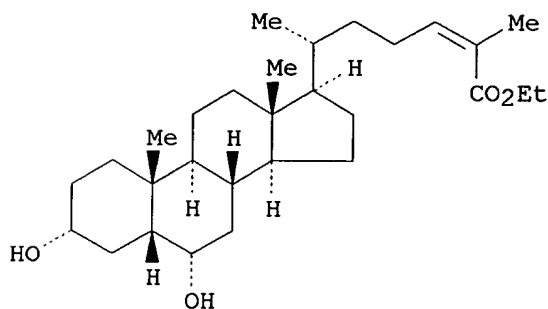
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|      | PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
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|      | SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, |      |          |                 |          |
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I



II

AB The steroid derivs. I ( $R_3 = \text{H, amino, carboxyl, oxo, halo, sulfonic acid, -O-sulfonic acid, or alkyl that is optionally inserted with -NH-, -N(alkyl)-, -O-, -S-, -SO-, -SO}_2\text{-, -O-SO}_2\text{-, -SO}_2\text{-O-, -O-SO}_3\text{-, -SO}_3\text{-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or -N(alkyl)-CO-, and further optionally substituted with hydroxy, halo, amino, carboxyl, sulfonic acid, or -O-sulfonic acid}$ ),  $R_1, R_2, R_4, R_4', R_6, R_7, R_{11}, R_{12}, R_{15}, R_{16}, \text{ and } R_{17'}$ , independently, is  $\text{H, hydroxy, amino, carboxyl, oxo, halo, sulfoic acid, -O-sulfonic acid, or alkyl that is optionally inserted with -NH-, -N(alkyl)-, -O-, -S-, -SO-, -SO}_2\text{-, -O-SO}_2\text{-, -SO}_2\text{-O-, -O-SO}_3\text{-, -SO}_3\text{-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or -N(alkyl)-CO-, and further optionally substituted with hydroxy, halo, amino, carboxyl, sulfonic acid, or -O-sulfonic acid}$ .  $R_5, R_8, R_9, R_{10}, R_{13}, \text{ and } R_{14}$ , independently, is  $\text{H, alkyl, haloalkyl, hydroxyalkyl, alkoxy, hydroxy, or amino}$ ;  $R_{17}$  is  $\text{-X-Y-Z}$ , in which  $\text{X}$  is a bond, or alkyl or alkenyl, optionally inserted with  $\text{-NH-, -N(alkyl)-, -O-, or -S-}$ , and further optionally forming a cyclic moiety with  $R_{16}$  and the 2 ring carbon atoms to which  $R_{16}$  and  $R_{17}$  are bonded;  $\text{Y}$  is  $\text{-CO-, -SO-, -SO}_2\text{-, -O-SO}_2\text{-, -SO}_2\text{-O-, -O-SO}_3\text{-, -SO}_3\text{-O-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, -N(alkyl)-CO-, or a bond}$ .  $\text{Z} = \text{alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, cycloalkenyl, heterocycloalkenyl, aryl, heteroaryl, aralkyl, or heteroaralkyl, and is optionally substituted with hydroxy, alkoxy, amino, halo, sulfonic acid, -O-sulfonic acid, carboxyl, oxo, alkyloxycarbonyl, alkylcarbonyloxy, alkylaminocarbonyl, alkylcarbonylamino, alkylcarbonyl, alkylsulfinyl, alkylsulfonyl, or alkylthio; or is -CH(A)-B with A being a side chain of an amino acid, and B being hydrogen, -NRaRb, or -COORc wherein each of Ra, Rb, and Rc, independently, is hydrogen or alkyl; n is 0, 1, or 2. Provided that when Z is substituted with carboxyl or alkyloxycarbonyl, Y is a bond and either X or Z contains at least one double bond, and that when Y is a bond,$

either X is -NH-alkyl-, -NH-alkenyl-, -N(alkyl)-alkyl-, -N(alkyl)-alkenyl-, -O-alkyl-, -O-alkenyl-, -S-alkyl-, or -S-alkenyl-; or Z is substituted with halo, sulfonic acid, -O-sulfonic acid, alkylsulfinyl, or alkylsulfonyl, or is alkenyl or their salts were prepd. Thus, to a stirred soln. of L- (or D-) phenylalanine ester hydrochloride in dry DMF was added triethylamine and the mixt. was stirred at room temp. for 10 min, bile acid and 1-ethyl-3-[3-dimethylaminopropyl]-carbodiimide were then added and the suspension was stirred at room temp. overnight. Reaction mixt. was dild. with water and Et acetate, the org. layer was sepd. and the water layer was extd. with Et acetate again, the combined org. layer was then washed with 1N HCl, water, 1N NaOH and water, and dried (MgSO<sub>4</sub>), removed the solvent under reduced pressure to afford the steroid derivs., e.g. II. Steroid derivs. of I interact with nuclear liver X receptor (LXR) and ubiquitous receptor (UR), and can be used to treat a variety of LXR- or UR- mediated disorders.

ST steroid deriv prepn nuclear receptor

IT Nuclear receptors

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(liver X and ubiquitous; prepn. of steroid derivs.)

IT Transformation, genetic

(mammalian cell; prepn. of steroid derivs.)

IT 1173-32-6P 1672-46-4P 2868-48-6P 10538-59-7P 115679-81-7P  
 300548-56-5P 300548-57-6P 305835-13-6P 305835-16-9P 305835-18-1P  
 305835-20-5P 305835-22-7P 305835-25-0P 305835-26-1P 305835-27-2P  
 305835-28-3P 305835-29-4P 305835-30-7P 305835-33-0P 305835-35-2P  
 305835-37-4P 305835-39-6P 305835-41-0P 305835-43-2P 305835-45-4P  
 305835-47-6P, Cholesta-5,24-diene-3,26-diol 305835-48-7P 305835-49-8P  
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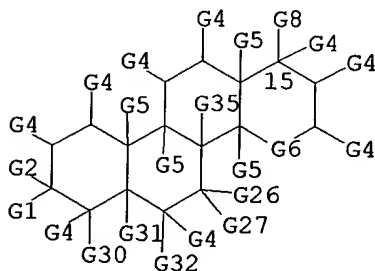
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of steroid derivs.)

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD

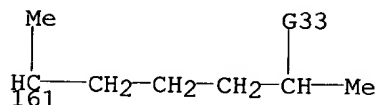
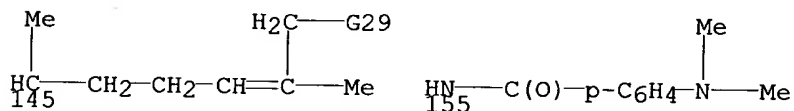
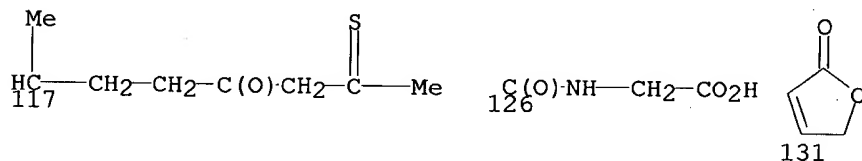
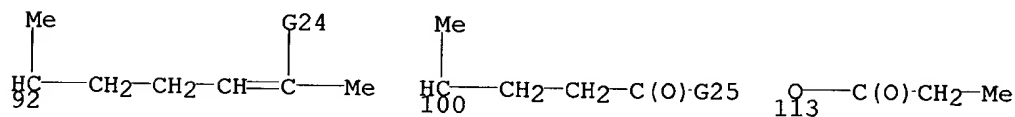
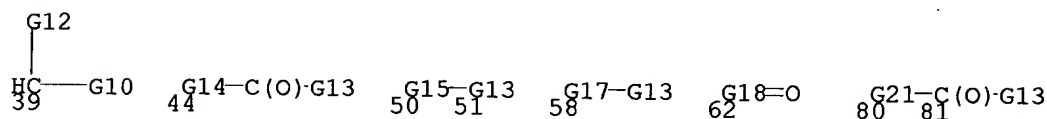
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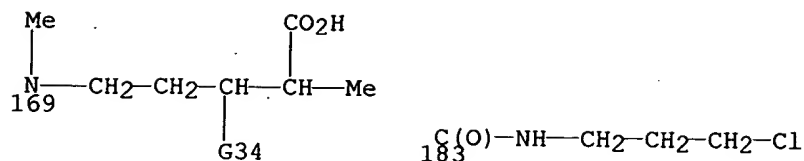
- (1) Angelico; Scandinavian Journal of Gastroenterology 1995, V30(12), P1178 CAPLUS
- (2) Ruelle; International Journal of Pharmaceutics 1997, V157(2), P219 CAPLUS
- (3) Xia, P; Heterocycles 1998, V47(2), P703 CAPLUS

MSTR 1A



G1 = H / OH / NH<sub>2</sub> / CO<sub>2</sub>H / F / Cl / Br / I / SO<sub>3</sub>H /  
 OSO<sub>3</sub>H / alkyl<(1-8)> (SO (1-) G3)  
 G2 = H  
 G3 = OH / F / Cl / Br / I / NH<sub>2</sub> / CO<sub>2</sub>H / SO<sub>3</sub>H / OSO<sub>3</sub>H  
 G4 = H / OH / NH<sub>2</sub> / CO<sub>2</sub>H / F / Cl / Br / I / SO<sub>3</sub>H /  
 OSO<sub>3</sub>H / alkyl<(1-8)> (SO (1-) G3)  
 G5 = H / alkyl<(1-8)> (SO (1-) G7) /  
 alkyl<(1-8)> (SR (1-) OH) / alkoxy<(1-8)> / OH / NH<sub>2</sub> /  
 (SC Me)  
 G6 = (0-2) CH<sub>2</sub>  
 G7 = F / Cl / Br / I  
 G8 = alkyl<(1-8)> (SO (1-) G9) / alkenyl<(2-8)> (SO) /  
 alkynyl<(2-8)> (SO) / cycloalkyl<(3-8)> (SO) /  
 Hy<EC (3-8) A (1-) Q, AR (0), BD (0-) D (0) T> (SO) /  
 cycloalkenyl<(3-8)> (SO) / aryl<(6-12)> (SO) /  
 heteroaryl<EC (-12) A (1-) Q> (SO) / 62 / 39 / 58 / 44 / 50 /  
 80 / (SC 92 / 100 / 113 / 117 / 126 / 131 / 145 / 155 / 161 /  
 169 / 183)





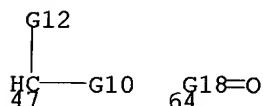
G9 = R / aryl<(6-12)> (SO) /  
heteroaryl<EC (-12) A (1-) Q> (SO)  
G10 = H / NH2 / alkylamino<(1-8)> / dialkylamino<(1-8)> /  
41

$\text{C(O)}\text{-G11}$   
41

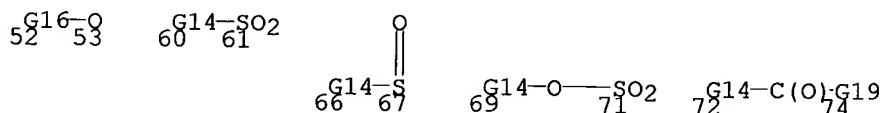
G11 = OH / alkoxy<(1-8)>  
G12 = H / R<TX "side chain of amino acid"> / (SC 89)

$\text{H}_2\text{C-p-C}_6\text{H}_4\text{G23}$   
89

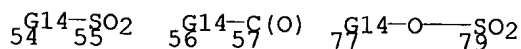
G13 = alkyl<(1-8)> (SO (1-) G9) / alkenyl<(2-8)> (SO) /  
alkynyl<(2-8)> (SO) / cycloalkyl<(3-8)> (SO) /  
Hy<EC (3-8) A (1-) Q, AR (0), BD (0-) D (0) T> (SO) /  
cycloalkenyl<(3-8)> (SO) / aryl<(6-12)> (SO) /  
heteroaryl<EC (-12) A (1-) Q> (SO) / 64 / 47



G14 = NULL / alkylene<(1-8)> / alkenylene<(2-8)>  
G15 = 66-15 67-51 / 60-15 61-51 / 52-15 53-51 /  
69-15 71-51 / 72-15 74-51



G16 = 54-15 55-53 / 56-15 57-53 / 77-15 79-53



G17 = alkylene<(1-8)> / alkenylene<(2-8)>  
G18 = Ak<EC (1-8) C, BD (0-) D (0-) T> (SO) /  
Cb<EC (3-8) C, BD (0-) D (0) T> (SO) /  
Hy<EC (3-8) A (1-) Q, AR (0), BD (0-) D (0) T> (SO)  
G19 = NH / 75

$\text{N}^{\text{---}}\text{G20}$   
75

G20 = alkyl<(1-8)>  
G21 = O / NH / 83 / 85-15 86-81

$\text{N}^{\text{---}}\text{G20}$   $\text{G17}^{\text{---}}\text{G22}$   
83 85 86

G22 = O / NH / 87

$\text{N}^{\text{---}}\text{G20}$   
87

G23 = H / OH  
G24 = OH / alkoxy<(1-8)> / NH<sub>2</sub> / F / Cl / Br / I / SO<sub>3</sub>H /  
OSO<sub>3</sub>H / 143 / alkylcarbonyloxy<(1-8)> /  
alkylcarbonylamino<(1-8)> / alkylcarbonyl<(1-8)> /  
alkylsulfinyl<(1-8)> / alkylsulfonyl<(1-8)> /  
alkylthio<(1-8)>

$\text{C}(\text{O})^{\text{---}}\text{G28}$   
143

G25 = OMe / 106 / 110 / 137

$\text{HN}^{\text{---}}\text{CH}_2\text{---CH}_2\text{---Cl}$   $\text{HN}^{\text{---}}\text{CH}_2\text{---CF}_3$   $\text{H}_2\text{C}^{\text{---}}\text{Ph}$   
106 110  
 $\text{HN}^{\text{---}}\text{CH}^{\text{---}}\text{C}(\text{O})\text{---OMe}$   
137

G26 = H / OH / NH<sub>2</sub> / CO<sub>2</sub>H / F / Cl / Br / I / SO<sub>3</sub>H /  
OSO<sub>3</sub>H / alkyl<(1-8)> (SO (1-) G3)  
G27 = H  
G28 = OH / alkoxy<(1-8)> / alkylamino<(1-8)> / OEt  
G29 = F / OH / H  
G30 = H / OH / NH<sub>2</sub> / CO<sub>2</sub>H / F / Cl / Br / I / SO<sub>3</sub>H /  
OSO<sub>3</sub>H / alkyl<(1-8)> (SO (1-) G3)  
G31 = H / alkyl<(1-8)> (SO (1-) G7) /  
alkyl<(1-8)> (SR (1-) OH) / alkoxy<(1-8)> / OH / NH<sub>2</sub> /  
(SC Me)  
G32 = H  
G33 = CF<sub>3</sub> / OSO<sub>3</sub>H / CO<sub>2</sub>H / CONH<sub>2</sub>  
G34 = H / F  
G35 = H / alkyl<(1-8)> (SO (1-) G7) /  
alkyl<(1-8)> (SR (1-) OH) / alkoxy<(1-8)> / OH / NH<sub>2</sub> /  
(SC Me)

G1 +G2 = O

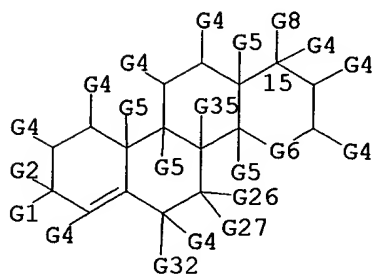
G26+G27= O

G27+G35= NULL

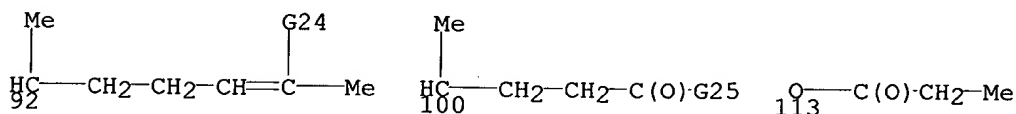
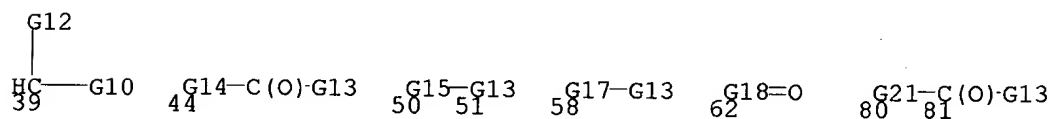
MPL: claim 1

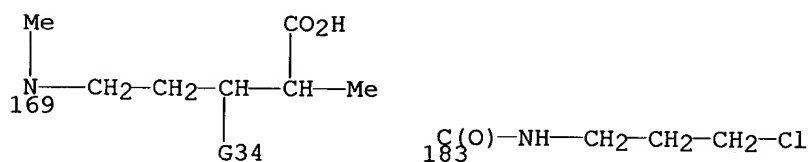
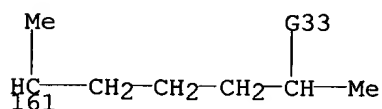
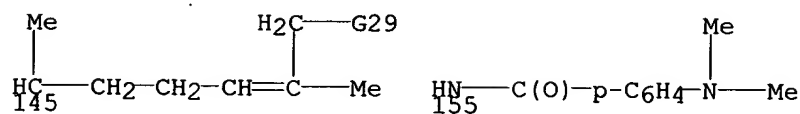
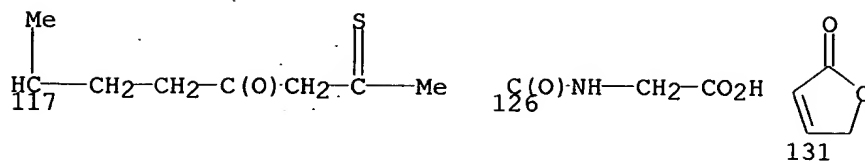


NTE: additional derivatization also claimed  
 NTE: substitution is restricted  
 NTE: or salts  
 NTE: also incorporates claims 18, 35 and 49

**MSTR 1B**

G1 = H / OH / NH<sub>2</sub> / CO<sub>2</sub>H / F / Cl / Br / I / SO<sub>3</sub>H /  
 OSO<sub>3</sub>H / alkyl<(1-8)> (SO (1-) G3)  
 G2 = H  
 G3 = OH / F / Cl / Br / I / NH<sub>2</sub> / **CO<sub>2</sub>H** / SO<sub>3</sub>H / OSO<sub>3</sub>H  
 G4 = H / **OH** / NH<sub>2</sub> / CO<sub>2</sub>H / F / Cl / Br / I / SO<sub>3</sub>H /  
 OSO<sub>3</sub>H / alkyl<(1-8)> (SO (1-) G3)  
 G5 = H / alkyl<(1-8)> (SO (1-) G7) /  
 alkyl<(1-8)> (SR (1-) OH) / alkoxy<(1-8)> / OH / NH<sub>2</sub> /  
**(SC Me)**  
 G6 = (0-2) CH<sub>2</sub>  
 G7 = F / Cl / Br / I  
 G8 = alkyl<(1-8)> (SO (1-) G9) / alkenyl<(2-8)> (SO) /  
 alkynyl<(2-8)> (SO) / cycloalkyl<(3-8)> (SO) /  
 Hy<EC (3-8) A (1-) Q, AR (0), BD (0-) D (0) T> (SO) /  
 cycloalkenyl<(3-8)> (SO) / aryl<(6-12)> (SO) /  
 heteroaryl<EC (-12) A (1-) Q> (SO) / 62 / **39** / 58 / 44 / 50  
 /  
 80 / (SC 92 / 100 / 113 / 117 / 126 / 131 / 145 / 155 / 161 /  
 169 / 183)





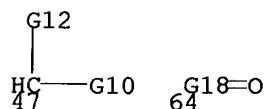
G9 = R / aryl<(6-12)> (SO) /  
 heteroaryl<EC (-12) A (1-) Q> (SO)  
 G10 = H / NH2 / alkylamino<(1-8)> / dialkylamino<(1-8)> /  
 41

$\begin{array}{c} \text{C}(\text{O}) - \text{G11} \\ 41 \end{array}$

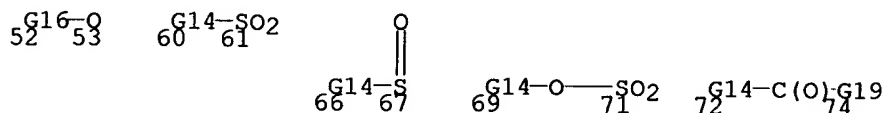
G11 = OH / alkoxy<(1-8)>  
 G12 = H / R<TX "side chain of amino acid"> / (SC 89)

$\begin{array}{c} \text{H}_2\text{C} - \text{p} - \text{C}_6\text{H}_4 \\ 89 \end{array} \text{G23}$

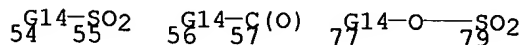
G13 = alkyl<(1-8)> (SO (1-) G9) / alkenyl<(2-8)> (SO) /  
 alkynyl<(2-8)> (SO) / cycloalkyl<(3-8)> (SO) /  
 Hy<EC (3-8) A (1-) Q, AR (0), BD (0-) D (0) T> (SO) /  
 cycloalkenyl<(3-8)> (SO) / aryl<(6-12)> (SO) /  
 heteroaryl<EC (-12) A (1-) Q> (SO) / 64 / 47



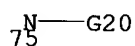
G14 = NULL / alkylene<(1-8)> / alkenylene<(2-8)>  
 G15 = 66-15 67-51 / 60-15 61-51 / 52-15 53-51 /  
 69-15 71-51 / 72-15 74-51



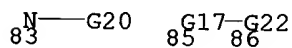
G16 = 54-15 55-53 / 56-15 57-53 / 77-15 79-53



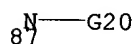
G17 = alkylene<(1-8)> / alkenylene<(2-8)>  
 G18 = Ak<EC (1-8) C, BD (0-) D (0-) T> (SO) /  
 Cb<EC (3-8) C, BD (0-) D (0) T> (SO) /  
 Hy<EC (3-8) A (1-) Q, AR (0), BD (0-) D (0) T> (SO)  
 G19 = NH / 75



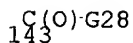
G20 = alkyl<(1-8)>  
 G21 = O / NH / 83 / 85-15 86-81



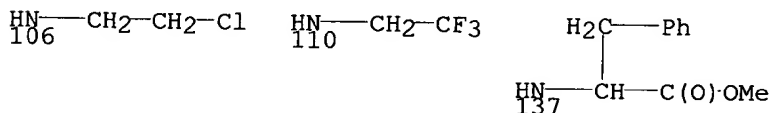
G22 = O / NH / 87



G23 = H / OH  
 G24 = OH / alkoxy<(1-8)> / NH2 / F / Cl / Br / I / SO3H /  
 OSO3H / 143 / alkylcarbonyloxy<(1-8)> /  
 alkylcarbonylamino<(1-8)> / alkylcarbonyl<(1-8)> /  
 alkylsulfinyl<(1-8)> / alkylsulfonyl<(1-8)> /  
 alkylthio<(1-8)>



G25 = OMe / 106 / 110 / 137



G26 = H / OH / NH2 / CO2H / F / Cl / Br / I / SO3H /  
OSO3H / alkyl<(1-8)> (SO (1-) G3)  
G27 = H  
G28 = OH / alkoxy<(1-8)> / alkylamino<(1-8)> / OEt  
G29 = F / OH / H  
G32 = H  
G33 = CF3 / OSO3H / CO2H / CONH2  
G34 = H / F  
G35 = H / alkyl<(1-8)> (SO (1-) G7) /  
alkyl<(1-8)> (SR (1-) OH) / alkoxy<(1-8)> / OH / NH2 /  
(SC Me)

G1 +G2 = O

G26+G27= O

G27+G35= NULL

MPL: claim 1

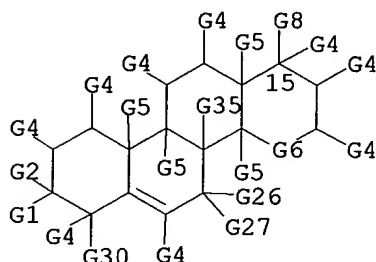
NTE: additional derivatization also claimed

NTE: substitution is restricted

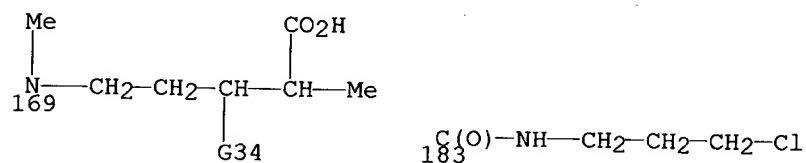
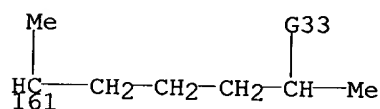
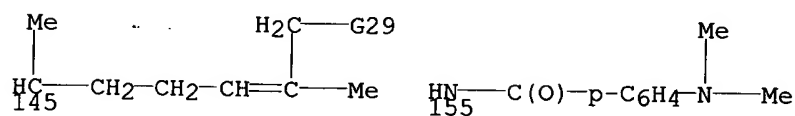
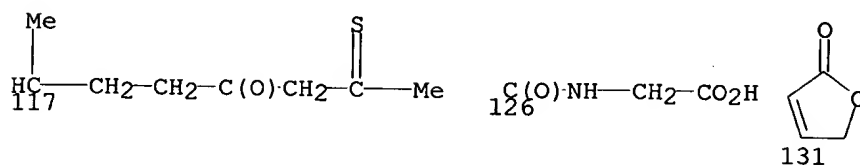
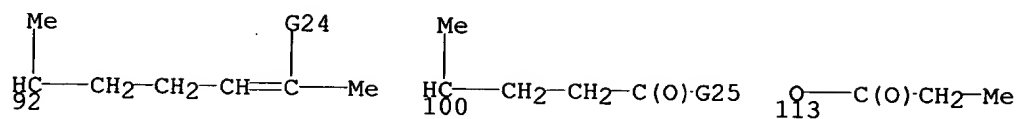
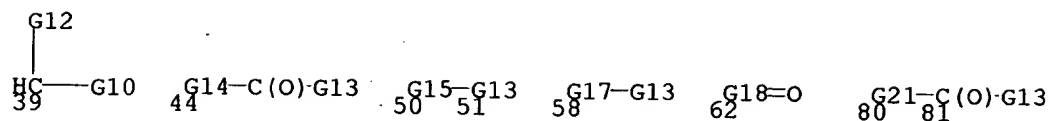
NTE: or salts

NTE: also incorporates claims 18, 35 and 49

MSTR 1C



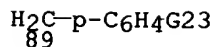
G1 = H / OH / NH2 / CO2H / F / Cl / Br / I / SO3H /  
OSO3H / alkyl<(1-8)> (SO (1-) G3)  
G2 = H  
G3 = OH / F / Cl / Br / I / NH2 / CO2H / SO3H / OSO3H  
G4 = H / OH / NH2 / CO2H / F / Cl / Br / I / SO3H /  
OSO3H / alkyl<(1-8)> (SO (1-) G3)  
G5 = H / alkyl<(1-8)> (SO (1-) G7) /  
alkyl<(1-8)> (SR (1-) OH) / alkoxy<(1-8)> / OH / NH2 /  
(SC Me)  
G6 = (0-2) CH2  
G7 = F / Cl / Br / I  
G8 = alkyl<(1-8)> (SO (1-) G9) / alkenyl<(2-8)> (SO) /  
alkynyl<(2-8)> (SO) / cycloalkyl<(3-8)> (SO) /  
Hy<EC (3-8) A (1-) Q, AR (0), BD (0-) D (0) T> (SO) /  
cycloalkenyl<(3-8)> (SO) / aryl<(6-12)> (SO) /  
heteroaryl<EC (-12) A (1-) Q> (SO) / 62 / 39 / 58 / 44 / 50 /  
80 / (SC 92 / 100 / 113 / 117 / 126 / 131 / 145 / 155 / 161 /  
169 / 183)



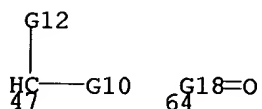
G9 = R / aryl<(6-12)> (SO) /  
 heteroaryl<EC (-12) A (1-) Q> (SO)  
 G10 = H / NH<sub>2</sub> / alkylamino<(1-8)> / dialkylamino<(1-8)> /  
 41

41 C(O)-G11

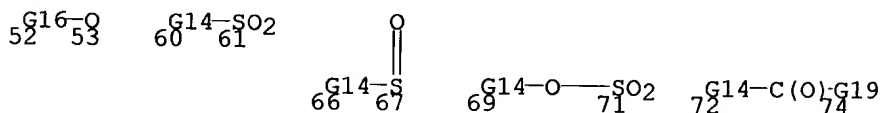
G11 = OH / alkoxy<(1-8)>  
 G12 = H / R<TX "side chain of amino acid"> / (SC 89)



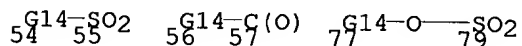
G13 = alkyl<(1-8)> (SO (1-) G9) / alkenyl<(2-8)> (SO) /  
 alkynyl<(2-8)> (SO) / cycloalkyl<(3-8)> (SO) /  
 Hy<EC (3-8) A (1-) Q, AR (0), BD (0-) D (0) T> (SO) /  
 cycloalkenyl<(3-8)> (SO) / aryl<(6-12)> (SO) /  
 heteroaryl<EC (-12) A (1-) Q> (SO) / 64 / 47



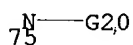
G14 = NULL / alkylene<(1-8)> / alkenylene<(2-8)>  
 G15 = 66-15 67-51 / 60-15 61-51 / 52-15 53-51 /  
 69-15 71-51 / 72-15 74-51



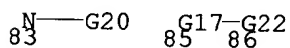
G16 = 54-15 55-53 / 56-15 57-53 / 77-15 79-53



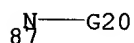
G17 = alkylene<(1-8)> / alkenylene<(2-8)>  
 G18 = Ak<EC (1-8) C, BD (0-) D (0-) T> (SO) /  
 Cb<EC (3-8) C, BD (0-) D (0) T> (SO) /  
 Hy<EC (3-8) A (1-) Q, AR (0), BD (0-) D (0) T> (SO)  
 G19 = NH / 75



G20 = alkyl<(1-8)>  
 G21 = O / NH / 83 / 85-15 86-81



G22 = O / NH / 87

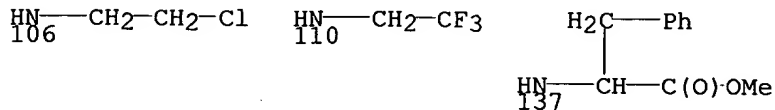


G23 = H / OH  
 G24 = OH / alkoxy<(1-8)> / NH2 / F / Cl / Br / I / SO3H /

OSO3H / 143 / alkylcarbonyloxy<(1-8)> /  
 alkylcarbonylamino<(1-8)> / alkylcarbonyl<(1-8)> /  
 alkylsulfinyl<(1-8)> / alkylsulfonyl<(1-8)> /  
 alkylthio<(1-8)>

C(O)-G28  
 143

G25 = OMe / 106 / 110 / 137



G26 = H / OH / NH2 / CO2H / F / Cl / Br / I / SO3H /  
 OSO3H / alkyl<(1-8)> (SO (1-) G3)  
 G27 = H  
 G28 = OH / alkoxy<(1-8)> / alkylamino<(1-8)> / OEt  
 G29 = F / OH / H  
 G30 = H / OH / NH2 / CO2H / F / Cl / Br / I / SO3H /  
 OSO3H / alkyl<(1-8)> (SO (1-) G3)  
 G33 = CF3 / OSO3H / CO2H / CONH2  
 G34 = H / F  
 G35 = H / alkyl<(1-8)> (SO (1-) G7) /  
 alkyl<(1-8)> (SR (1-) OH) / alkoxy<(1-8)> / OH / NH2 /  
 (SC Me)  
 G1 +G2 = O  
 G26+G27= O  
 G27+G35= NULL  
 MPL: claim 1  
 NTE: additional derivatization also claimed  
 NTE: substitution is restricted  
 NTE: or salts  
 NTE: also incorporates claims 18, 35 and 49